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chain nodes :
17 18 19 20 21 22 23 24 28 29 30 31 32 33 34 35 36 37 38 39 40
41 42 43 44 45 46 47
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 25 26 27
chain bonds :
1 -35 2-34 3-33 4-32 7-11 8-18 9-25 12-36 13-37 14-17 15-38 16-39 18-19
18-40 19-20 19-41 20-21 20-28 20-42 21-22 21-43 21-44 22-23 22-29 22-45
23-24 23-46 23-47 24-30 24-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 25-26 25-27 26-27

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exact/norm bonds :
20-28 22-29 24-30 24-31 25-26 25-27 26-27
exact bonds :
1 - 35 \quad 2 - 34 \quad 3 - 33 \quad 4 - 32 \quad 7 - 11 \quad 8 - 18 \quad 9 - 25 \quad 12 - 36 \quad 13 - 37 \quad 14 - 17 \quad 15 - 38 \quad 16 - 39 \quad 18 - 19
18 - 40 \quad 19 - 20 \quad 19 - 41 \quad 20 - 21 \quad 20 - 42 \quad 21 - 22 \quad 21 - 43 \quad 21 - 44 \quad 22 - 23 \quad 22 - 45 \quad 23 - 24 \quad 23 - 46
23 - 47
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 11-12 \quad 11-16 \quad 12-13 \quad 13-14
14-15 15-16
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom
28:CLASS 29:CLASS 30:CLASS
                                31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS
36:CLASS 37:CLASS 38:CLASS 39:CLASS
                                           40:CLASS 41:CLASS 42:CLASS 43:CLASS
44:CLASS 45:CLASS 46:CLASS 47:CLASS
L1
        STRUCTURE UPLOADED
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
=> s l1 full
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     ANSWER 1 OF 8 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                           149:128752 CA
                           Preparation of novel crystals of pitavastatin calcium
TITLE:
                           for treatment of hypercholesterolemia, familial
                           INVENTOR(S):
                           Huang, Yuming; Yang, Shengxi; Li, Yang; Luo, Jie; Lin,
                           Meng; Dan, Chunyan; Zhang, Daolin
PATENT ASSIGNEE(S):
                           Chongqing Pharmaceutical Research Institute Co., Ltd.,
                           Peop. Rep. China
```

10/584208

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101195603	A	20080611	CN 2007-10093011	20071121
PRIORITY APPLN. INFO.:			CN 2007-10093011	20071121
		-		

AB This invention relates to novel crystals of pitavastatin calcium, whose corresponding 2θ value of characteristic diffraction line in powder

X-ray diffraction patterns is 4.3 and 5.2. The preparation process comprises crystallizing from pitavastatin calcium-containing water solution or mixed solution containing

pitavastatin calcium and organic solvent, then drying at $20-150\,^{\circ}\text{C}$ to water content 0.5-3%. A medical composition containing pitavastatin calcium novel

crystals and medical adjuvants can be prepared as tablets and capsules, and can be used for treating hypercholesterolemia, familial hypercholesterolemia, and/or atherosclerosis (no data).

IT 147526-32-7P, Pitavastatin calcium

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel crystals of pitavastatin calcium for treatment of hypercholesterolemia, familial hypercholesterolemia, and atherosclerosis)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

L5 ANSWER 2 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 147:365510 CA

TITLE: Dibenzyl amine compounds and derivatives as CETP

inhibitors and their preparation, pharmaceutical

compositions and use in the treatment of atherosclerosis and cardiovascular diseases

INVENTOR(S): Chang, George; Garigipati, Ravi S.; Lefker, Bruce;

Perry, David A.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 124pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE			APP	LICAT	ION :	NO.			ATE	
		2007 2007										 2007- 2007-				2	0070 0070	103
	WO			-							-	, BG,	_					_
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		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PΤ	, RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML	, MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
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OTHER SOURCE(S): MARPAT 147:365510

GΙ

$$R^{2}$$
 R^{3}
 R^{4}
 R^{2}
 R^{1}
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 R^{7}

Ι

AΒ Dibenzyl amine compds. and derivs. of formula I, pharmaceutical compns. containing such compds. and the use of such compds. to elevate certain plasma lipid levels, including high d. lipoprotein-cholesterol and to lower certain other plasma lipid levels, such as LDL-cholesterol and triglycerides and accordingly to treat diseases which are exacerbated by low levels of HDL cholesterol and/or high levels of LDL-cholesterol and triglycerides, such as atherosclerosis and cardiovascular diseases in some mammals, including humans. Compds. of formula I wherein A is CO2-C1-4 alkyl, CN, CHO, CONH2, etc.; B is NH2 and derivs., and (un)substituted 3to 8-membered heterocyclic ring; X is X and N, wherein if X is N, R4 is absent; R1, R2, R3, R4, R5, R6 and R7 are independently H, halo, CN, OH, NO2, (un) substituted C1-6 alkyl, etc.; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by reductive amination of 2-[[(3,5-bis(trifluoromethyl)benzyl)(2-methyl-2Htetrazol-5-yl)amino]methyl]-4-trifluoromethylbenzaldehyde with dimethylamine. All the invention compds. were evaluated for their CETP inhibitory activity (no data).

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of dibenzyl amine compds. and derivs. as CETP inhibitors and their use in the treatment of atherosclerosis and cardiovascular diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L5 ANSWER 3 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 147:173649 CA

TITLE: Combination of triazine derivatives and HMG-CoA

reductase inhibitors

INVENTOR(S): Moinet, Gerard; Cravo, Daniel; Mesangeau, Didier

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 34pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.			ATE	
	2007 2007						2007 2007	0719	,	WO 2	006-	EP12	184			0061	
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							NA,			•	,	,	,	,	,	,	•
ПР	2006			•		•	TM,					242			2	0000	110
PRIORIT	2896 Y APP									FR 2						0060 0060	_
OTHER S	OURCE	(S):			MAR	PAT	147:	1736	49								
AR Th	AR The present patent application relates to combinations of a triazine											_					

AB The present patent application relates to combinations of a triazine derivative with an HMG-CoA reductase inhibitor. Thus, a formulation contained pravastatin 10, and (+)-2-amino-3,6-dihydro-4-dimethylamino-6-methyl-1,3,5-triazine-HCl 750 mg in addition to conventional excipients.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of triazine derivs. and HMG-CoA reductase inhibitors)

147511-69-1 CA RN

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

ANSWER 4 OF 8 CA COPYRIGHT 2008 ACS on STN

143:393062 CA ACCESSION NUMBER:

Combinations comprising (S)-amlodipine and an ${\tt HMG-CoA}$ TITLE:

reductase inhibitor and/or cholesterol absorption

inhibitor for reducing hypertension

Barberich, Timothy J. INVENTOR(S): PATENT ASSIGNEE(S): Sepracor Inc., USA SOURCE:

PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT :	NO.			KIN	_	DATE			APPL 	ICAT	TON .	NO.		D.	ATE		
WO	2005	0971	91		A2		2005	1020		WO 2	005-	US99	10		2	0050	325	
WO	2005	0971	91		А3		2005	1208										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
RITY	APP	LN.	INFO	.:						US 2	004-	5596	12P		P 2	0040	404	
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The present invention relates to pharmaceutical compns. comprising optically pure (S)-amlodipine and a HMG-CoA reductase inhibitor,

preferably lovastatin. Another aspect of the present invention relates to a pharmaceutical composition comprising optically pure (S)-amlodipine and a cholesterol absorption inhibitor, preferably ezetimibe, or optically pure (S)-amlodipine, a HMG-CoA reductase inhibitor, and a cholesterol absorption inhibitor. The aforementioned pharmaceutical compns. further comprises niacin. The invention also relates to methods for treating a patient suffering from hypertension, hyperlipidemia, or a cardiac disorder. The invention also relates to methods for the treatment of hypertension and hyperlipidemia. For example, a solution of L-malic acid (6.68 kg, 49.82 mol) in isopropanol-water was added to a solution of (S)-amlodipine (19.5 kg, 47.69 mol) in isopropanol-MTBE and the reaction mixture was held with agitation for about one hour at about $50\,^{\circ}\text{C}$ to form a slurry. The slurry was cooled with agitation to about 0° over 2.5 to 3 h and held with agitation at about 0° for about one hour. The solid product was isolated by filtration at about $0\,^{\circ}$ and the wet cake obtained was dried at about 60° in vacuo to provide (S)-amlodipine L-malate (Form A) (25.41 kg, 46.79 mol, 98.1% yield). Tablets were prepared containing (S)-amlodipine L-malate (Form A) 3.32%, Avicel PH 101 70.7%, Starch 1500 20.75%, Explotab 5.0%, and magnesium stearate 0.25%.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations comprising amlodipine and HMG-CoA reductase inhibitor and/or cholesterol absorption inhibitor for treatment of cardiovascular disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L5 ANSWER 5 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 143:139169 CA

TITLE: Preparation of crystal form of pitavastatin

calcium

INVENTOR(S): Ohara, Yoshio; Takada, Yasutaka; Matsumoto, Hiroo;

Yoshida, Akihiro

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT I	NO.			KINI						LICAT					ATE	
WO	2005	 0637:	11								2004-					0041	217
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
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	2007										2006-					0060	-
	20061										2006-1					0060	
	2007	-	-		A1						2006-					0060	
	20061				Α		2006	1208			2006-					0060	-
ORITY	APP:	LN.	INFO	.:							2003-						
											2004-					0041	

AB A method for producing a drug substance of crystalline pitavastatin calcium excellent in stability, is presented. In the production of a compound (pitavastatin calcium) the water content is adjusted to a level of 5-15%, and the crystal form is controlled to be crystal form A, thereby to obtain the drug excellent in stability.

IT 147526-32-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of crystal form of pitavastatin calcium)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 141:230683 CA

TITLE: Crystalline forms of pitavastatin calcium INVENTOR(S): Van Der Schaaf, Paul Adriaan; Blatter, Fritz;

Szelagiewicz, Martin; Schoening, Kai-Uwe

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz. SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.				KIN)	DATE									ATE	
WO	2004	0720	40		A1	_	 2004	0826	,			EP50					
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI
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		BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,
		MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
		GQ,	GW,	${ m ML}$,	MR,	ΝE,	SN,	TD,	ΤG								
ΑU	2004	2121	60		A1		2004	0826		AU 2	004 -	2121	60		20	0040	202
CA	2513	837			A1		2004	0826	1	CA 2	004 -	2513	837		20	0040	202
EΡ	1592	668			A1		2005	1109	,	EP 2	004-	7072	32		20	0040	202
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
JΡ	2006	5183	54		Τ		2006	0810	1	JP 2	006-	50199	97		20	0040	202
DE	2020	0402	1379		U1		2008	0327		DE 2	004 -	2020	0402	1379	20	0040	202
CN	1012	1999	2		Α		2008	0716	1	CN 2	-800	1000	1291		20	0040	202
US	2006	0142	582		A1		2006	0629		JS 2	005-	5447	52		20	0050	808

ΤТ

IN 2005CN02219 A 20070406 IN 2005-CN2219 20050912
PRIORITY APPLN. INFO.: EP 2003-405080 A 20030212
CN 2004-80003952 A3 20040202
EP 2004-707232 A 20040202
WO 2004-EP50066 W 20040202

AB The present invention is directed to new crystalline forms of Pitavastatin hemicalcium salt, referred to hereinafter as polymorphic Forms A, B, C, D, E and F, as well as the amorphous form. Furthermore, the present invention is directed to processes for the preparation of these crystalline forms

and the amorphous form and pharmaceutical compns. comprising these crystalline forms or the amorphous form. The hemicalcium salt was prepared from pitavastatin tert-Bu ester in tert-Bu ether and MeOH, NaOH added, and aqueous phase extracted with Me tert-Bu ether. Then CaCl2 was added to give a form A. 147526-32-7P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (crystalline forms of pitavastatin calcium)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

L5 ANSWER 7 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:311199 CA

TITLE: Amino acid complexes of C-aryl glucosides for

treatment of diabetes Gougoutas, Jack Z.

INVENTOR(S): Gougoutas, Jack Z. PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA'	TENT	ENT NO.				D	DATE								Γ	DATE	
WO	2002 2002	0830	66		A2						2002-				2	20020	408
,,,	₩:	AE, CO, GM, LS, PL, UA,	AG, CR, HR, LT, PT, UG,	AL, CU, HU, LU, RO, US,	AM, CZ, ID, LV, RU, UZ,	AT, DE, IL, MA, SD, VN,	AU, DK, IN, MD, SE, YU,	AZ, DM, IS, MG, SG, ZA,	BA, DZ, JP, MK, SI, ZM,	EC KE MN SF ZV	B, BG, EE, KG, KG, MW, SL, SL, TZ,	ES, KP, MX,	FI, KR, MZ, TM,	GB, KZ, NO, TN,	GD, LC, NZ, TR,	GE, LK, OM, TT,	GH, LR, PH, TZ,
		,	,		,		,				E, IT			,	,		,
AU AU US US EP	2444 2002 2002 2003 6774 1385 1385	481 2545 2545 0064 112 856	67 67 935		A1 B2 A1 B2 A2		2002 2002 2007 2003 2004 2004	1024 1028 1011 0403 0810 0204		CA AU US	2002-	-2444 -2545 -1179	481 67 14		2	20020 20020 20020	408 408 408
AT ES HU	2004 3182 2258 2006 2008	IE, 5360 72 141 0002 2001	SI, 47 32 59	LT,	LV, T T T3 A2	FI,	RO, 2004 2006 2006 2006	MK, 1202 0315 0816 0828	CY,	AI JP AT ES HU AU US AU	2002- 2006- 2006- 2001- 2002-	-5808 -7238 -7238 -232 -2001 -2830 -2545	71 01 01 59 97P 67		2 2 2 2 2 P 2 A3 2	20020 20020 20020 20020 20020 20080	408 408 408 408 111 411
OTHER SO	OURCE	(S):			MARI	PAT	137:	3111:	99	WO	2002-	-US11	066		W 2	20020	408

OTHER SOURCE(S): MARPAT 137:311199

GΙ

AB Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either the (D) or (L) enantiomer of natural amino acids and compds. of formula I [R1, R2, R2a = H, OH, OR5, alkyl, OCHF2, OCF3, SR5a, halogen; R3, R4 = H, OH, OR5b, alkyl, cycloalkyl, CF3, OCHF2, OCF3, halogen, CONR6R6a, CO2R5c, CO2H, COR6b, CH(OH)R6c, CH(OR5d)R6d, CN, NHCOR5e, NHSO2R5f, NHSO2-aryl, SR5g, SOR5h, SO2R5i, or a five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms (N, O, S, SO, and/or SO2), or R3 and R4 together with the carbons to which they are attached form an annelated

Ι

five, six or seven membered carbocycle or heterocycle which may contain 1 to 4 heteroatoms in the ring; R5, R5a-R5i are independently alkyl; R6, R6a-R6d are independently H, alkyl, aryl, alkylaryl or cycloalkyl, or NR6R6a form an annelated five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms in the ring]. A method is also provided for treating diabetes and related diseases employing an SGLT2 (sodium dependent glucose transporters found in the intestine and kidney) inhibiting amount of the above complex alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, I (R1 = 4-Me, R4 = 4-OCHF2, R2, R2a, R3 = H) was prepared by a multistep procedure starting from o-toluic acid, anisole, 2,3,4,6-tetra-O-benzyl- β -D-glucolactone, and CHF2Cl and treated with L-phenylalanine to form the crystalline 1:1 complex.

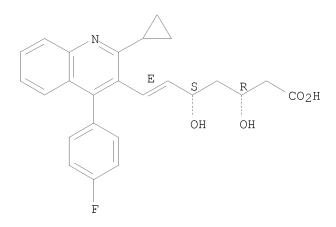
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L5 ANSWER 8 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:140435 CA

TITLE: Benzopyrancarboxylic acid derivatives with PPAR

agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical

compositions, and use

INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.;

Boueres, Julia K.; Desai, Ranjit C.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	
US 20020103242	A1 2	20020801	US 2001-21667	
US 6713508		20040330		
CA 2427610			CA 2001-2427610	
WO 2002060434	A2 2	20020808	WO 2001-US49501	20011026
WO 2002060434				
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GM, HR, HU,	ID, IL,	IN, IS, JE	P, KE, KG, KR, KZ,	LC, LK, LR, LS,
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AU 2002248221				
EP 1347755			EP 2001-997102	20011026
			B, GR, IT, LI, LU,	
IE, SI, LT,				NH, DH, MC, II,
JP 2004517938				20011026
PRIORITY APPLN. INFO.:			US 2000-244698P	
PRIORIII APPLIN. INFO.:				
OTHER COHROL (C)		127.140425	WO 2001-US49501	M 20011026
OTHER SOURCE(S): GI	MAKPAT I	13/:140435		

$$\begin{array}{c|c} & \text{CF}_3 \\ & \text{HO}_2\text{C} \\ & \text{O} \\ & \text{Pr-n} \end{array}$$

AB A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and

their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO2, CH2, (un) substituted NH; n = 1-6; R4 = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)vl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un) substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also containing; preparation of benzopyrancarboxylic acid

derivs. as PPAR agonists for treatment of diabetes and lipid disorders) RN 147511-69-1 CA

RN 147511-69-1 CA CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

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(FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008)

FILE 'REGISTRY' ENTERED AT 10:48:22 ON 08 SEP 2008

FILE 'REGISTRY' ENTERED AT 10:48:39 ON 08 SEP 2008

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       2223251 CRYST?
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   ANSWER 1 OF 6 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         139:117344 CA
TITLE:
                         Process for producing optically active oxoheptenoic
                         acid ester
                         Horiuchi, Takashi; Shimizu, Masamichi; Kondo, Shoichi;
INVENTOR(S):
                         Soejima, Tadashi; Umeo, Kazuhiro
PATENT ASSIGNEE(S):
                        Nissan Chemical Industries, Ltd., Japan; Sankyo
                         Chemical Industries, Ltd.
                         PCT Int. Appl., 20 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                         Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO. KIND DATE APPLICATION NO. DATE
    WO 2003042180 A1 20030522 WO 2002-JP11870 20021114 <-- WO 2003042180 A9 20030731
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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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                    A1 20030522 CA 2002-2485580
A1 20030526 AU 2002-343787
A1 20041013 EP 2002-780087
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                                                                    20021114 <--
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20041013

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

CN 1589263 A 20050302 CN 2002-822734 20021114
TW 243165 B 20051111 TW 2002-91133400 20021114
ZA 2004003722 A 20050516 ZA 2004-3722 20040514
IN 2004DN01342 A 20070316 IN 2004-DN1342 20040518

20021114

EP 1466905

US 20050054853 A1 20050310 US 2004-495268 20040604 US 7064209 B2 20060620

PRIORITY APPLN. INFO.: JP 2001-348569 A 20011114 WO 2002-JP11870 W 20021114

OTHER SOURCE(S): CASREACT 139:117344; MARPAT 139:117344

GT

Disclosed is a novel process for producing an optically active AΒ (5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3oxohept-6-enoic acid alkyl ester represented by the formula (I; R = C1-4alkyl), which is an important intermediate for (3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid salt as a medicine for treating hyperlipidemia and arteriosclerosis. It comprises reacting a 1,3-bis(trimethylsilyloxy)-1-alkoxybuta-1,3-diene represented by the formula (II; R = C1-4 alkyl) with (E)-3-[2-cyclopropyl-4-(4fluorphenyl)quinolin-3-yl]prop-2-en-1-al, which is represented by the formula (III), in the presence of an optically active binaphthol-titanium $\verb|complex| obtained from 1,1'-bi-2-naphthol and titanium tetraisopropoxide|\\$ and of a metal salt and an amine and then subjecting the reaction product to desilylation. The use of metal salt and various amines in the above addition reaction markedly improves optical purity ($\geq 99\%$ ee) and yields (≥85%). Thus, 25.0 g III was dissolved in 305.0 g THF under N atmospheric and treated with a toluene solution (6.35 g) of (S)-(-)-1,1'-bi-2-

naphthol and titanium tetraisopropoxide (0.0016 mol) and then with 1.10 g LiCl and N, N, N', N'-tetramethylethylenediamine, followed by adding dropwise

51.34 g II (R = Et), and the resulting mixture was stirred at $27-30^{\circ}$ for 4 h, quenched by adding 32.5 mL ion-exchanged water and 32.5 mL aqueous saturated NaHCO3 solution THF was removed by distillation under reduced pressure and

the organic layer was extracted with $675~\mathrm{mL}$ EtOAc. The extract was washed with $125~\mathrm{mL}$

 $\,$ mL ion-exchanged water and 125 mL aqueous saturated NaHCO3 solution, dried over 20 $\,$ q

anhydrous MgSO4, and filtered. The filtrate was cooled to 0° , treated dropwise with 23.9 g 50 weight% aqueous H2SO4 solution, stirred at $0-5^{\circ}$ for 2 h, and filtered to collect the precipitated sulfate salt which was washed twice with 25 mL EtOAc, dispersed in a mixture of 250 mL EtOAc and 100 mL

ion-exchanged water, treated with $150\ \mathrm{mL}\ 10$ weight% aqueous Na2CO3 solution, stirred

at $26-28^{\circ}$ for 30 min to give, after further workup and crystallization from ethylcyclohexane, 30.06 g I (R = Et) (85.2% yield, 99% ee).

99% ee).
IT 147511-69-1DP, (3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid, salt

RL: PNU (Preparation, unclassified); PREP (Preparation)
 (preparation of optically active alkyl [cyclopropyl(fluorophenyl)quinolinyl]
 hydroxyoxoheptenoate by addition of bis(trimethylsilyloxy)alkoxybutadiene
 with [cyclopropyl(fluorphenyl)quinolinyl]propenal in presence of
 (S)-binaphthol-titanium complex)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

WO 2003042180 A1 20030522 PΙ PATENT NO. KIND DATE APPLICATION NO. DATE _____ _____ _____ A1 WO 2003042180 20030522 WO 2002-JP11870 20021114 <--РΤ 20030731 WO 2003042180 Α9 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,

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                          A1
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                                              US 2004-495268
                                                                        20040604
     US 7064209
                           В2
                                 20060620
AΒ
     . . with 150 mL 10 weight% aqueous Na2CO3 solution, stirred at 26-28^{\circ}
     for 30 min to give, after further workup and crystallization from
     ethylcyclohexane, 30.06 g I (R = Et) (85.2% yield, 99% ee). 147511-69-1DP, (3R,5S,6E)-7-[2-Cyclopropyl-4-(4-
     fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid, salt
     RL: PNU (Preparation, unclassified); PREP (Preparation)
         (preparation of optically active alkyl [cyclopropyl(fluorophenyl)quinolinyl]
        hydroxyoxoheptenoate by addition of bis(trimethylsilyloxy)alkoxybutadiene
        with [cyclopropyl(fluorphenyl)quinolinyl]propenal in presence of
         (S)-binaphthol-titanium complex)
     ANSWER 2 OF 6 CA COPYRIGHT 2008 ACS on STN
                          138:287535 CA
ACCESSION NUMBER:
                           Process for preparation of optically active
TITLE:
                           7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-
                           dihydroxyhept-6-enoic acid ethyl ester
INVENTOR(S):
                           Nishino, Shigeyoshi; Matsushita, Akio; Yokoyama,
                           Shuji; Kawachi, Yasuhiro; Sasaki, Hiroshi
PATENT ASSIGNEE(S):
                           UBE Industries, Ltd., Japan
SOURCE:
                           PCT Int. Appl., 26 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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JP 2005255522 A 20050922 JP 2001-284633
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This invention pertains to prepn method of (3R,5S)-7-[2-cyclopropyl-4-(4-AΒ fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester useful as an intermediate for an HMG-CoA reductase inhibitor (cholesterollowering agent) in high yield by reacting an amine salt of (3R,5S) -7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5dihydroxyhept-6-enoic acid with an alc. in a solvent in the presence of an acid, or by a method comprising reacting the salt with an esterifying agent in a solvent in the presence of a base. For example, 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6enoic acid was reacted with PhCH2NH2 in AcOEt to obtain 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6enoic acid benzylamine salt (94.9%). The above salt was resolved with THF to give (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5dihydroxyhept-6-enoic acid benzylamine salt (60.0%, 99.1% ee, 99.8% de). The above optically active salt was reacted with EtOH in the presence of concentrated aqueous HCl to afford (3R,5S)-7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester (100%), which was crystallized from (i-Pr)20 and heptane to produce crystalline sample (91.0%, 99.9% ee, 99.8% de).

IT 503818-48-2P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

RN 503818-48-2 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, compd. with benzenemethanamine (1:1), (3R,5S)- (CA INDEX NAME)

CM 1

CRN 503818-47-1 CMF C25 H24 F N O4

Absolute stereochemistry. Double bond geometry unknown.

CM 2

CRN 100-46-9 CMF C7 H9 N

H2N-CH2-Ph

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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	JP	CG, CI, CM JP 2005255522				Α		2005	0922		JP 2	001-	28463	33		20	0010	919
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	AU	2002	3321	84		A1		2003	0407		AU 2	002-	3321	34		20	0020	919 <

 $\ensuremath{\mathsf{AB}}$. . was reacted with EtOH in the presence of concentrated aqueous HCl to afford

(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester (100%), which was crystallized from (i-Pr)2O and heptane to produce crystalline sample (91.0%, 99.9% ee, 99.8% de).

IT 503818-48-2P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-

enoic acid Et ester) 475645-79-5P ΙT RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6enoic acid Et ester) 172336-32-2P ΙT RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (process for preparation of optically active 7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester) 64-17-5, Ethanol, reactions 74-96-4, Bromoethane 100-46-9, ΙT Benzylamine, reactions 121659-03-8, 7-[2-Cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid RL: RCT (Reactant); RACT (Reactant or reagent) (process for preparation of optically active 7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester) ANSWER 3 OF 6 CA COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 138:24649 CA Process for preparation of 2-cyclopropyl-4-(4-TITLE: fluorophenyl)quinoline-3-carbaldehyde by ozonolysis of ethyl (6E)-3, 5-dihydroxy-7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]hept-6-enoate Matsumoto, Hiroo; Shimizu, Takanori INVENTOR(S): Daicel Chemical Industries, Ltd., Japan; Nissan PATENT ASSIGNEE(S): Chemical Industries, Ltd. SOURCE: PCT Int. Appl., 15 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: DATENT NO KIMD DATE APPLICATION NO

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US	2004	0147	750		A1		2004	0729		US 2	003-	4792	26		2	0031	201

US 7193086 B2 20070320

PRIORITY APPLN. INFO.: JP 2001-162986 A 20010530 JP 2001-208501 A 20010709 WO 2002-JP4712 W 20020515

OTHER SOURCE(S): CASREACT 138:24649; MARPAT 138:24649

GT

of

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AΒ Described is a process for preparing 2-cyclopropyl-4-(4fluorophenyl)quinoline-3-carbaldehyde (I) which is important as an intermediate for the synthesis of drugs, i.e. HMG-CoA reductase inhibitor for cholesterol-lowering agent, efficiently from an unnecessary antipode, characterized by treating a compound represented by formula (II) or (III) (wherein A is -CHOH- or CO; and R is hydrogen, optionally branched C1-4 alkyl, Ph, an alkali metal ion, or an alkaline earth metal ion) with ozone and then conducting either reduction of the resulting compound with an inorg. sulfur

compound or hydrogenolysis of the resulting compound Thus, a solution of 5.0 g Et (6E)-3,5-dihydroxy-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3yl]hept-6-enoate in 50 g MeOH was cooled to 0°, followed by introducing 1 g ozone(g) to the solution at $0-5^{\circ}$ over 1 h and removing excess ozone with N. To the resulting solution was added dropwise a solution

 $0.85~\mathrm{g}$ thiourea in $14.1~\mathrm{g}$ H2O at $0-5^{\circ}$ over 10 min, stirred at the same temperature for 1 h, treated with 26 g H2O, and stirred at 5° for 1h to give, after filtering off precipitated crystals ad washing them with 6 g 50% aqueous MeOH, and drying them, 2.81 g I (86.7% yield and 99.2% purity).

ΙT 477950-34-8

> RL: RCT (Reactant); RACT (Reactant or reagent) (process for preparation of 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3carbaldehyde as intermediate for HMG-CoA reductase inhibitor for cholesterol-lowering agent)

RN 477950-34-8 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, ethyl ester, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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WO 2002098859 A1 20021212
PΙ
     PATENT NO.
                          KIND
                                  DATE
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                                 20021212
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              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                                                         20020515
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     KR 834326
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                           Α1
                                  20040729
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                                                                         20031201
     US 7193086
                                  20070320
                            В2
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AB . . . 1 h, treated with 26 g H2O, and stirred at 5° for 1 h to give, after filtering off precipitated crystals ad washing them with 6 g 50% aqueous MeOH, and drying them, 2.81 g I (86.7% yield and 99.2% purity).

IT 10028-15-6, Ozone, reactions 222306-13-0 477950-34-8

RL: RCT (Reactant); RACT (Reactant or reagent) (process for preparation of 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde as intermediate for HMG-CoA reductase inhibitor for cholesterol-lowering agent)

L7 ANSWER 4 OF 6 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:384764 CA

TITLE: Process for producing (3R,5S)-7-substituted-3,5-

dihydroxyhept-6-enoic acid

INVENTOR(S): Nishino, Shigeyoshi; Yokoyama, Shuji; Kawachi,

Yasuhiro; Sasaki, Hiroshi Ube Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE		
WO	2002	 0925	 70		A1	_	2002	1121		WO 2	 002-	JP47	10		2	0020	 515 <	(
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NΖ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
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JP	2005	0478	03		A		2005	0224		JP 2	001-	1453	58		2	0010	515	
AU	2002	3089	84		A1		2002	1125		AU 2	002-	3089	84		2	0020	515 <	(
PRIORIT	Y APP	LN.	INFO	.:						JP 2	001-	1453	58		A 2	0010	515	
										WO 2	002-	JP47	10		W 2	0020	515	
OTHER S	OURCE	(S):			MAR	PAT	137:	3847	64									

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed is a process for producing a (3R,5S)-7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (I) which comprises optically resolving with an achiral amine compound a mixture of optical isomers of a 7-[2-cyclopropyl-4-(4fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (II). The optical resolution involves contacting II with an achiral amine to form II achiral amine salt, recrystq. the salt to form I achiral amine salt, and contacting the I achiral recrystn. amine salt with an acid to give I. This process does not use expensive chiral amines and is suitable for industrial preparation of I which is an intermediate for an anticholesteremic agent (HMG-CoA reductase inhibitor). Thus, 4.21 g II (preparation given), 1.07 g benzylamine, and 30 mL EtOAc were added to a 50 mL flask and cooled to 0° with stirring, upon which crystals precipitated The precipitated crystals were filtered, washed with EtOAc cooled at 0°, and dried under reduced pressure to give 94.9% II benzylamine salt. II benzylamine salt $(4.22~\rm g)$ and $84~\rm mL$ THF were added to a $100~\rm mL$ flask, warmed to 50° with stirring to give a homogeneous solution, and cooled to 0°, upon which crystals precipitated The precipitated crystals were filtered and washed with 42 mL THF cooled at 0° . This procedure was repeated twice to give 2.52 g I benzyl amine salt (60.0%) which (2.11~g) and 10~mL MeOH were added to a 50 mL flask, adjusted to pH 3.5 by adding 1 M aqueous HCl, and extracted with 10

mL EtOAc twice, followed by drying the EtOAc extract over anhydrous MgSO4 and

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concentration to give 1.66 g I (99.0%).
     475645-80-8P
ΙT
     RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-
        3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine
        via formation of achiral amine salt, recrystn., and treatment with
        acid)
RN
     475645-80-8 CA
     6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
CN
     dihydroxy-, compd. with benzenemethanamine (1:1), (3R,5S,6E)- (CA INDEX
     NAME)
     CM
          1
     CRN 147511-69-1
     CMF C25 H24 F N O4
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Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

CM 2

CRN 100-46-9 CMF C7 H9 N

 $\mathrm{H_2N}-\mathrm{CH_2}-\mathrm{Ph}$

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT PΙ WO 2002092570 A1 20021121 PATENT NO. KIND DATE APPLICATION NO. DATE _____ WO 2002-JP4710 WO 2002092570 A1 20021121 20020515 <--РΤ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

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      AU 2002308984
      A1
      20021125
      AU 2002-308984
      20020515

                                                                      20020515 <--
     . . benzylamine, and 30 mL EtOAc were added to a 50 mL flask and
AΒ
     cooled to 0° with stirring, upon which crystals precipitated
     The precipitated crystals were filtered, washed with EtOAc cooled at
     0°, and dried under reduced pressure to give 94.9% II benzylamine
     salt. II. . . a 100 mL flask, warmed to 50^{\circ} with stirring to
     give a homogeneous solution, and cooled to 0^{\circ}, upon which
     crystals precipitated  The precipitated crystals were filtered and
     washed with 42 mL THF cooled at 0°. This procedure was repeated
     twice to give 2.52 g. .
     475645-80-8P
ΤТ
     RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-
        3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine
        via formation of achiral amine salt, recrystn., and treatment with
        acid)
ΙT
     121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-
     3,5-dihydroxyhept-6-enoic acid 147511-69-1P 475645-77-3P,
     7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-6-
     enoic acid isopropyl ester 475645-78-4P, 7-[2-Cyclopropyl-4-(4-
     fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid isopropyl ester
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     (Reactant or reagent)
        (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-
        3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine
        via formation of achiral amine salt, recrystn., and treatment with
        acid)
     ANSWER 5 OF 6 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         137:311199 CA
TITLE:
                         Amino acid complexes of C-aryl glucosides for
                         treatment of diabetes
INVENTOR(S):
                         Gougoutas, Jack Z.
PATENT ASSIGNEE(S):
                       Bristol-Myers Squibb Company, USA
SOURCE:
                         PCT Int. Appl., 80 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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                   KIND DATE APPLICATION NO.
                                                                      DATE
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      WO 2002083066
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      WO 2002083066
      A3 20030306

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

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OTHER SOURCE(S): MARPAT 137:311199

AΒ Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either the (D) or (L) enantiomer of natural amino acids and compds. of formula I [R1, R2, R2a = H, OH, OR5, alkyl, OCHF2, OCF3, SR5a, halogen; R3, R4 = H, OH, OR5b, alkyl, cycloalkyl, CF3, OCHF2, OCF3, halogen, CONR6R6a, CO2R5c, CO2H, COR6b, CH(OH)R6c, CH(OR5d)R6d, CN, NHCOR5e, NHSO2R5f, NHSO2-aryl, SR5g, SOR5h, SO2R5i, or a five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms (N, O, S, SO, and/or SO2), or R3 and R4 together with the carbons to which they are attached form an annelated five, six or seven membered carbocycle or heterocycle which may contain 1 to 4 heteroatoms in the ring; R5, R5a-R5i are independently alkyl; R6, R6a-R6d are independently H, alkyl, aryl, alkylaryl or cycloalkyl, or NR6R6a form an annelated five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms in the ring]. A method is also provided for treating diabetes and related diseases employing an SGLT2 (sodium dependent glucose transporters found in the intestine and kidney) inhibiting amount of the above complex alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, I (R1 = 4-Me, R4 = 4-OCHF2, R2, R2a, R3 = H) was prepared by a multistep procedure starting from o-toluic acid, anisole, 2,3,4,6-tetra-O-benzyl- β -D-glucolactone, and CHF2C1 and treated with L-phenylalanine to form the crystalline

Ι

1:1 complex.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

ΡI	WO 2002083066 A2 PATENT NO.		APPLICATION NO.	DATE
PI		A2 20021024	WO 2002-US11066	20020408 <
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	AU 2002254567			20020400 \
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			EP 2002-723801	20020409
		B1 20060222	EF 2002-723001	20020400
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			JP 2002-580871	20020408
	AT 318272	т 20060315	AT 2002-723801	20020100
			ES 2002-723801	
			HU 2006-232	
			AU 2008-200159	
AB			from 1:1 or 2:1 mixts. or	

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the (D) or (L) enantiomer of natural amino acids and.
                                                            . . prepared by a
     multistep procedure starting from o-toluic acid, anisole,
     2,3,4,6-tetra-O-benzyl-\beta-D-glucolactone, and CHF2Cl and treated with
     L-phenylalanine to form the crystalline 1:1 complex.
ST
     crystal structure amino acid complex aryl glucoside; amino acid
     complex aryl glucoside prepn antidiabetic
ΙT
    Antidiabetic agents
     Antiobesity agents
     Atherosclerosis
       Crystal structure
     Diabetes mellitus
     Human
     Hyperglycemia
     Hypertension
     Hypertriglyceridemia
     Hypolipemic agents
     Obesity
        (preparation of amino acid/C-aryl glucoside complexes for treatment of
        diabetes and related diseases)
     51-64-9, Dexamphetamine 94-20-2, Chlorpropamide 122-09-8, Phentermine
     637-07-0, Clofibrate 657-24-9, Metformin 9004-10-8, Insulin,
     biological studies 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 21187-98-4, Gliclazide 22232-71-9, Mazindol
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     145599-86-6, Cerivastatin 147511-69-1, Pitavastatin
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     GW-409544 282526-98-1, ATL-962 287714-41-4, Rosuvastatin
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     335149-17-2, ARHO39242 335149-23-0, NVPDPP-728A
     430433-17-3, Glipyride 444069-80-1, Axokine
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (preparation of amino acid/C-aryl glucoside complexes for treatment of
        diabetes and related diseases)
    ANSWER 6 OF 6 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         137:140435 CA
                         Benzopyrancarboxylic acid derivatives with PPAR
TITLE:
                         agonist activity for the treatment of diabetes and
                         lipid disorders, and their preparation, pharmaceutical
                         compositions, and use
                         Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.;
INVENTOR(S):
                         Boueres, Julia K.; Desai, Ranjit C.
PATENT ASSIGNEE(S):
                         Merck & Co., Inc., USA
SOURCE:
                         U.S. Pat. Appl. Publ., 42 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
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FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA	PATENT NO.				KIND		DATE			APPLICATION NO.				DATE			
	20020103242													20011029 <			
					B2 20040330			CA 2001-2427610					20011026				
· · · -						A2 20020808 A3 20030619				WO 2001-US49501				20011026 <			
WO											D.C.				~ -	~	017
	W:	ΑE,															
									,	EC,							
										KE,							
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		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
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.TD	2004											5606	26		2	0011	026
	IORITY APPLN. INFO.:				T 20040617					US 2000-244698P							
LINIONIII	TORTIT AFFUN. INFO.:																
OTHER SO	HER SOURCE(S):				WO 2001-US49501 W 20011026 MARPAT 137:140435								020				

AB A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO2, CH2, (un)substituted NH; n = 1-6; R4 = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also containing; preparation of benzopyrancarboxylic acid

derivs. as PPAR agonists for treatment of diabetes and lipid disorders) RN $\,$ 147511-69-1 CA $\,$

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

ΡI	US 20020103242 A1	20020801								
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
ΡI	US 20020103242	A1	20020801	US 2001-21667	20011029 <					
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WO 2002060434

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WO 2002060434
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     AU 2002248221
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                                                                    20011026 <--
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004517938
                          Τ
                              20040617 JP 2002-560626
                                                                    20011026
ΙT
     Crystal structure
     Molecular structure
        (of enantiomeric (benzyloxy)alkylchromanecarboxylic acid esters with
        pantolactone; preparation of benzopyrancarboxylic acid derivs. as PPAR
        agonists for treatment of diabetes and lipid disorders)
     406488-88-8P, (R)-Benzyloxy-2-ethylchromane-2-carboxylic acid ester with
ΙT
     (S)-pantolactone
     RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (intermediate, crystal structure of; preparation of
        benzopyrancarboxylic acid derivs. as PPAR agonists for treatment of
        diabetes and lipid disorders)
     444341-94-0P, (S)-7-Benzyloxy-2-methylchromane-2-carboxylic acid ester
ΤТ
     with (R)-pantolactone
     RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (intermediate, x-ray crystal structure of; preparation of
        benzopyrancarboxylic acid derivs. as PPAR agonists for treatment of
        diabetes and lipid disorders)
     50-78-2, Aspirin 59-67-6, Nicotinic acid, biological studies
     Nicotinic acid, salts 64-77-7, Tolbutamide
                                                    100-55-0, Nicotinyl alcohol
     114-86-3, Phenformin 122-09-8, Phentermine
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     599-79-1, Azulfidine
                           637-07-0, Clofibrate 657-24-9, Metformin
     943-45-3D, Fibric acid, derivs. 3239-44-9, Dexfenfluramine
                                                                    9004-10-8D,
                        9004-54-0D, Dextran, crosslinked dialkylaminoalkyl
     Insulin, mimetics
     derivs. 11041-12-6, Cholestyramine 22232-71-9, Mazindol 23288-49-5,
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     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (therapeutic compns. also containing; preparation of benzopyrancarboxylic
acid
        derivs. as PPAR agonists for treatment of diabetes and lipid disorders)
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20020808 WO 2001-US49501

20011026 <--

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   ANSWER 1 OF 38 CA COPYRIGHT 2008 ACS on STN
                        147:528186 CA
ACCESSION NUMBER:
TITLE:
                        Nanoparticulate fibrate formulations
INVENTOR(S):
                        Ryde, Tuula; Gustow, Evan E.; Jain, Rajeev; Patel,
                        Rakesh; Wilkins, Michael John
                        Elan Pharma International, Ltd., Ire.
PATENT ASSIGNEE(S):
SOURCE:
                        U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of U.S.
                        Ser. No. 522,528.
                        CODEN: USXXCO
DOCUMENT TYPE:
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LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
    PATENT NO.
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                               DATE
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                        A1
                                          US 2007-710607
    US 20070264348
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    US 20050276974
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PRIORITY APPLN. INFO.:
                                           US 2002-383294P
                                                              P 20020524
                                                              B2 20030221
                                           US 2003-370277
                                           US 2003-444066
                                                              A2 20030523
                                           US 2005-275278
                                                              B1 20051221
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The present invention is directed to fibrate compns. having improved pharmacokinetic profiles and reduced fed/fasted variability. The fibrate particles of the composition have an effective average particle size of less

US 2006-522528 B2 20060918

Page 34

AΒ

t.han

hydroxypropyl cellulose 1%, and dioctyl sodium sulfosuccinate 0.05%. KIND DATE APPLICATION NO. PATENT NO. ____ _____ _____ _____ _____ A1 20071115 US 2007-710607 20070226 A1 20031204 US 2003-370277 20030221 A1 20051215 US 2003-444066 20030523 PΙ US 20070264348 US 20030224058 20030221 <--20030523 US 20050276974 US 7276249 В2 20071002 Angiotensin receptor antagonists ΙT Antidiabetic agents Antihypertensives Buccal drug delivery systems Calcium channel blockers Cardiovascular system, disease Controlled-release drug delivery systems Coronary artery disease Diuretics Drug bioavailability Drug bioequivalence Dyslipidemia HMG-CoA reductase inhibitors Hypercholesterolemia Hyperlipidemia Hypertriglyceridemia Inhalation drug delivery systems Nasal drug delivery systems Ophthalmic drug delivery systems Oral drug delivery systems Pharmaceutical aerosols Pharmaceutical capsules Pharmaceutical gels Pharmaceutical nanoparticles Pharmaceutical ointments Pharmaceutical suspensions Pharmaceutical tablets Rectal drug delivery systems Topical drug delivery systems Vaginal drug delivery systems α -Adrenoceptor antagonists β -Adrenoceptor antagonists (nanoparticulate fibrate formulations) ΙT 56-81-5, Glycerol, biological studies 57-09-0, Hexadecyltrimethylammonium bromide 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 57-88-5, Cholesterol, biological studies 62-49-7D, Choline, esters 75-50-3D, Trimethylamine, halide salts 79-43-6, biological studies 102-71-6, Triethanolamine, biological studies 109-97-7D, Pyrrole, 2,3-disubstituted derivs. 110-00-9D, Furan, 2,3-disubstituted derivs. 110-02-1D, Thiophene, 2,3-disubstituted derivs. 110-94-1D, Pentanedioic acid, derivs. 112-00-5, Lauryl trimethylammonium chloride 122-19-0D, Stearalkonium chloride, compound 123-03-5, Cetylpyridinium chloride 124-40-3D, Dimethylamine, dialkys derivs., salts 139-07-1, Lauryl dimethyl benzylammonium chloride 140-72-7, Cetylpyridinium bromide 151-21-3, Sodium lauryl sulfate, biological studies 504-31-4D, 2-Pyranone, pyrrol-1-ylalkyl derivs. 506-59-2, Dimethylammonium chloride 577-11-7, Dioctyl sodium sulfosuccinate 593-81-7D, Trimethylammonium chloride, coconut derivs. 657-24-9, Metformin 674-26-0D, Mevalonolactone,

about 2000 nm. Thus, formulation was prepared containing fenofibrate 5%,

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analogs 1119-94-4 1119-97-7, Tetradecyltrimethylammonium bromide
1327-43-1, Magnesium aluminum silicate 1592-23-0, Calcium
stearate 1643-19-2, Tetrabutylammonium bromide
                                                    1875-92-9D.
Dimethylbenzylammonium chloride, alkylated 2082-84-0,
Decyltrimethylammonium bromide 2498-25-1D, C12-15-alkyl derivs.
2840-24-6, Trimethylammonium bromide 2840-24-6D, Trimethylammonium
bromide, coconut derivs. 5137-55-3, Methyltrioctylammonium chloride
5350-41-4, Benzyltrimethylammonium bromide 6303-21-5D, Phosphinic acid,
         7173-51-5, Dimethyl didecyl ammonium chloride 7281-04-1,
Lauryl dimethyl benzylammonium bromide
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Polyvinyl alcohol 9003-39-8, Polyvinylpyrrolidone 9004-32-4,
Carboxymethylcellulose sodium 9004-34-6, Cellulose, biological studies
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18186-71-5, Dodecyltriethylammonium bromide 21424-22-6 21424-24-8
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25322-68-3 25322-68-3D, PEG, phospholipid derivs.
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Heptenoic acid, pyridyl dihydroxy derivs. 26062-79-3,
Poly-diallyldimethylammonium chloride 27195-16-0, Sucrose distearate
27321-96-6D, PEG-cholesterol, derivative 28228-56-0 28299-33-4D,
quaternized, salt 28679-24-5, Dodecylbenzyl triethyl ammonium chloride
29454-16-8D, Sodium sulfosuccinate, dialkylester 29836-26-8,
n-Octyl-\beta-D-glucopyranoside 31244-58-3, Octahydronaphthalene
31566-31-1, Glycerol monostearate 37318-31-3, Sucrose stearate
38443-60-6, Decyl triethylammonium chloride 39995-55-6
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Tricetyl methylammonium chloride 52539-48-7 54060-15-0D, coconut
        58846-77-8, n-Decyl\beta-D-glucopyranoside
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derivs.
n-Hexyl-\beta-D-glucopyranoside 59122-55-3, n-Dodecyl\beta-D-
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glucopyranoside
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69227-93-6, n-Dodecyl\beta-D-maltoside 69984-73-2 75330-75-5,
                                              78617-12-6,
            75330-75-5D, Mevinolin, analogs
n-Heptyl-\beta-D-glucopyranoside
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287714-41-4, Rosuvastatin 329326-68-3, p-Isononylphenoxypoly-(glycidol)
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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (nanoparticulate fibrate formulations)
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L9 ANSWER 2 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 146:330827 CA

TITLE: Bile preparations for colorectal disorders

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S.
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Ser. No. 996,945.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	K	ND	DATE		APPI	LICAT	ION I	Ε	DATE				
US 20070072828		1	200703	329	US 2	2006-5	5221	 62		2	0060	915	
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US 20020031558		1	200203	314	US 2	2001-	7781	54		2	0010	205	<
US 7303768		32	200712	204									
US 20050158408			200507	721	US 2	2004-9	9969	45		2	0041	124	
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R: AT, BE	, BG, C	I, CY	, CZ, D	DE,	DK, EE,	ES.	FI,	FR,	GB,	GR,	HU,	ΙE,	
	, LI, L									•	,	•	
CN 101065110		7	200710							2	0041	124	
BR 2004019213 JP 2008521800 AU 2006203315		7	200712	218	BR 2	2004-1	1921:	3		2	0041	124	
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IN 2007CN02532		1	200709		IN 2								
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PRIORITY APPLN. INF					US 3	1998-9	9406	9P	I	⊇ 1	9980	724	
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AB The present disclosure relates to methods and compns. to ameliorate or treat at least one symptom of colorectal cancer and/or adenomatous polyposis coli (APC). For example, some embodiments of the methods and compns. may reduce recurrence of colorectal adenomas and/or extend the life of a subject having colorectal cancer and/or APC. Some embodiments of the disclosure include maintaining a the total body weight in a subject having colorectal cancer and/or APC. According to some embodiments, a method of the disclosure may include administering a bile acid composition to a subject. A bile acid composition may include, in some embodiments, an aqueous solution that is free or substantially free of ppts. or particles. A aqueous solution may include (1) a bile acid, an aqueous soluble derivative of a bile acid, a

bile acid salt, and/or 7-ketolithocholic acid, (2) a carbohydrate, and (3) water. An aqueous composition may further include an alkali.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20070072828	A1	20070329	US 2006-522162	20060915
	US 6251428	В1	20010626	US 1999-357549	19990720 <
	US 20020031558	A1	20020314	US 2001-778154	20010205 <
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        (bile prepns. for colorectal disorders)
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121181-53-1, Filgrastim 123318-82-1, Clofarabine 123774-72-1, Sargramostim 123948-87-8, Topotecan 124508-66-3, Triptorelin pamoate 128794-94-5, Mycophenolate mofetil 129453-61-8, Fulvestrant 134523-00-5, Atorvastatin 134774-45-1, Rasburicase 135729-61-2, Palonosetron 137281-23-3, Pemetrexed 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 152459-95-5, Imatinib 152923-56-3, Daclizumab 153559-49-0, Bexarotene 154361-50-9, Capecitabine 162011-90-7, Rofecoxib 162394-19-6, Palifermin 169590-42-5, Celecoxib 170729-80-3, Aprepitant 173146-27-5, Denileukin diftitox 174722-31-7, 179045-86-4, Basiliximab 179324-69-7, Bortezomib Rituximab 180288-69-1, Trastuzumab 181695-72-7, Valdecoxib 183321-74-6, Erlotinib 184475-35-2, Gefitinib 198470-84-7, Parecoxib 202409-33-4, 206181-63-7, Ibritumomab tiuxetan Etoricoxib 205923-56-4, Cetuximab 208265-92-3, Pegfilgrastim 208921-02-2, Tositumomab 216503-57-0, Alemtuzumab 216974-75-3, Bevacizumab 220578-59-6, Gemtuzumab ozogamicin 226256-56-0, Cinacalcet 287714-41-4, Rosuvastatin 777076-34-3, 2,2-Bis-(4-(4-amino-3-hydroxyphenoxy)phenyl) adamantane RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (bile prepns. for colorectal disorders)

L9 ANSWER 3 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 145:342445 CA

TITLE: Dual controlled release osmotic device comprising two

different active agents

INVENTOR(S): Vergez, Juan A.; Ricci, Marcelo A.

PATENT ASSIGNEE(S): Argent.

SOURCE: U.S. Pat. Appl. Publ., 29pp., Cont.-in-part of U.S.

Ser. No. 321,736.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060204578	A1	20060914	US 2006-355315	20060215
US 20030185882	A1	20031002	US 2001-992488	20011106 <
US 20060177510	A1	20060810	US 2005-321736	20051229
PRIORITY APPLN. INFO.:			US 2001-992488	B3 20011106
			US 2005-321736	A2 20051229

A dosage form that provides a controlled release of at least two different AB active agents is provided. Particular embodiments include a dosage form that provides therapeutically effective levels of a first active agent and a second active agent in a mammal for an extended period of time following oral administration. An osmotic device containing a bilayered core is provided. The osmotic device provides a dual controlled release of both drugs from the core. The layers of the core are in stacked, substantially concentric or substantially eccentric arrangement. For example, bilayered controlled release tablet was prepared containing first layer comprised of oxybutynin hydrochloride 5.15 mg, Myvacet 5-07 10.80 mg, Povidone K25 5.40 ${\rm mg}, {\rm microcryst.}$ cellulose spheres 68.68 ${\rm mg},$ cellulose acetophtalate 4.10 mg, colloidal silicon dioxide 0.60 mg, and magnesium stearate 10.80 mg; second layer comprised of tolterodine L-tartrate 2.92 mg, Myvaplex 600P NF 82.07 mg, red iron oxide 0.15 mg, microcryst. cellulose spheres 67.76 mg, cellulose acetophtalate 4.10 mg, colloidal silicon dioxide 1.80 mg,

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croscarmellose sodium 1.80 \text{ mg}, and magnesium stearate 0.75 \text{ mg}.
     PATENT NO. KIND DATE APPLICATION NO. DATE
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      US 20030185882
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      US 20060177510
      A1 20060810
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PΙ
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     Adrenoceptor agonists
     Amebicides
     Analgesics
     Anti-Alzheimer's agents
     Anti-inflammatory agents
     Antiarthritics
     Antiasthmatics
     Antibiotics
     Anticoagulants
     Anticonvulsants
     Antidepressants
     Antidiabetic agents
     Antihistamines
     Antihypertensives
     Antimalarials
     Antiparkinsonian agents
     Antipsychotics
     Antitumor agents
     Antiulcer agents
     Antiviral agents
     Anxiolytics
       Calcium channel blockers
     Cardiovascular agents
     Contraceptives
     Decongestants
     Diagnostic agents
     Dissolution
     Diuretics
     Fungicides
     Hypnotics and Sedatives
     Hypolipemic agents
     Muscle relaxants
     Parasiticides
     Prokinetic agents
     Tranquilizers
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        (dual controlled-release osmotic device comprising two different active
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1977-10-2, Loxapine 2062-78-4, Pimozide 2295-31-0, Thiazolidinedione
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1-18-128A White
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (dual controlled-release osmotic device comprising two different active
   agents)
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L9 ANSWER 4 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 142:49262 CA

TITLE: Orally administered small peptides synergize statin activity, and therapeutic uses

INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.;

Navab, Mohamad

PATENT ASSIGNEE(S): The Regents of the University of California, USA

U.S. Pat. Appl. Publ., 159 pp., Cont.-in-part of U.S.

Ser. No. 423,830.
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CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

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EP 1864675	A A1	20070411	EP 2007-7775	20010823
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NL, PT, SE,		, 211, 20, 1	1, 11, 62, 61, 12,	11, 21, 20, 110,
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W: AE, AG, AL,	AJ AM AT		BA, BB, BG, BR, BW, I	RV R7 CA CH
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			JG, US, UZ, VC, VN,	
			NA, SD, SL, SZ, TZ, U	
			rm, at, be, bg, ch, c	
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SN, TD, TG EP 1660112	7. (1)	20060521	DD 2004 706504	20040010
			EP 2004-786504 GB, GR, IT, LI, LU, 1	
			SB, GR, II, LI, LU, I CY, AL, TR, BG, CZ, I	
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PRIORITY APPLN. INFO.:			US	2000-645454	A2	20000824
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			CN	2001-103876	А3	20010823
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			JP	2005-304531	А3	20051019
			AU	2006-200035	А3	20060106
			JP	2006-220831	А3	20060814

OTHER SOURCE(S): MARPAT 142:49262

AB The invention provides peptides that ameliorate one or more symptoms of atherosclerosis. The peptides are highly stable and readily administered via an oral route. The peptides are effective to stimulate the formation and cycling of pre- β high d. lipoprotein-like particles and/or to promote lipid transport and detoxification. The invention also provides a method of tracking a peptide in a mammal. In addition, the peptides inhibit osteoporosis. When administered with a statin, the peptides enhance the activity of the statin permitting the statin to be used at significantly lower dosages and/or cause the statins to be significantly more antiinflammatory at any given dose.

REFERENCE COUNT: 301 THERE ARE 301 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

	PA:	TENT NO.			KIND DATE					APP	LICAT	ION I		DATE				
ΡΙ		 20040254 7148197			A1 B2		2004 2006			us	2003-	6493	78		20	030	326	
	US	6664230			В1		2003	1216		US	2000-	6454	54		20	0000	324	<
	US	20030045	460		A1		2003	0306		US	2001-	8968	41		20	010	529	<
	US	6933279			В2		2005	0823										
	CN	1375299			A		2002	1023		CN	2001-	1038	76		20	010	323	<
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            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
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    7440-70-2, Calcium, biological studies
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    RL: BSU (Biological study, unclassified); BIOL (Biological study)
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       peptides synergize statin activity, and therapeutic uses)
ΤТ
    58-85-5D, Biotin, peptide conjugates 75330-75-5, Lovastatin
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    Fluvastatin 134523-00-5, Atorvastatin 145599-86-6, Cerivastatin
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    Rosuvastatin
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (orally administered small peptides synergize statin activity, and
       therapeutic uses)
    ANSWER 5 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        140:406798 CA
TITLE:
                        Preparation of benzoxepinopyridines as HMG-CoA
                        reductase inhibitors
                        Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
INVENTOR(S):
PATENT ASSIGNEE(S):
                        Bristol-Myers Squibb Company, USA
SOURCE:
                        U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.
                        Ser. No. 875,155, abandoned.
                        CODEN: USXXCO
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
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20050224 CA 2004-2534676

20040810

PATENT NO.	KIND	DATE	AP	PLICATION NO.	DATE			
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US 20040092573	A1	20040513	US	2003-602752		20030624		
US 6812345	B2	20041102						
US 20020013334	A1	20020131	US	2001-875155		20010606 <		
PRIORITY APPLN. INFO.:			US	2000-211595P	P	20000615		
			US	2001-875155	В2	20010606		
OTHER SOURCE(S): GI	MARPAT	140:406798						

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = 0, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20040092573	A1	20040513	US 2003-602752	20030624
	US 6812345	В2	20041102		
	US 20020013334	A1	20020131	US 2001-875155	20010606 <

IT Calcium channel

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(T-type, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Calcium channel blockers

(T-type, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (calcium, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT 5-HT reuptake inhibitors
Angiotensin receptor antagonists
Anti-Alzheimer's agents
Anti-infective agents
Anti-inflammatory agents
Antianginal agents
Antiarrhythmics

Antiarthritics Antidiabetic agents

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Antihypertensives
    Antiobesity agents
    Antiosteoporotic agents
    Antioxidants
    Antitumor agents
    Appetite depressants
      Calcium channel blockers
    Cardiovascular agents
    Diuretics
    Hormone replacement therapy
    Hypolipemic agents
    Immunomodulators
    \alpha-Adrenoceptor antagonists
    \beta-Adrenoceptor antagonists
    \beta3-Adrenoceptor agonists
        (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA
       reductase inhibitors for treatment of hyperlipidemia,
       hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other
       disorders)
                      51-64-9, Dexamphetamine 52-01-7, Spironolactone
ΙT
    50-78-2, Aspirin
    52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole
    58-93-5, Hydrochlorothiazide 59-67-6, Niacin, biological studies
    94-20-2, Chlorpropamide 122-09-8, Phentermine 525-66-6, Propranolol
    564-25-0, Doxycycline 637-07-0, Clofibrate 657-24-9, Metformin
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    1684-40-8, Tacrine hydrochloride
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    Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4,
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R-119702 335149-15-0, KAD1129 335149-17-2, AR-HO39242 335149-23-0, NVP-DPP-728A 335149-25-2, CP 331648 430433-17-3, Glipyride 430433-43-5, CP 644673 444069-80-1, Axokine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

L9 ANSWER 6 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 140:31532 CA

TITLE: Controlled-release drug composition containing

pitavastatin

INVENTOR(S): Tanizawa, Yoshio; Shimokawa, Tatsuharu; Ogawa,

Hirotada; Watanabe, Mayumi; Ohashi, Chihiro;

Kawashima, Hiroyuki; Shinoda, Yasuo; Inagi, Toshio

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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	WO	2003	 1058	 48		A1	_	2003	1224							2	0030	616 <		
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,		
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			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,	MR,	ΝE,	SN,	TD,	TG		
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	EΡ	1514	547			A1		2005	0316		EP 2	003-	7334.	34		2	0030	616		
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PRIO	RIT	APP	LN.	INFO	.:						US 2	002-	3887	40P		P 2	0020	617		
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AB Disclosed is a controlled-release drug composition characterized by comprising a composition (A) that contains pitavastatin or its salt or ester and initiates release thereof at least in the stomach and an enteric composition (B) that contains pitavastatin or its salt or ester. The use of this controlled-release drug composition leads to prolonged appropriate maintenance, starting just after administration, of the blood level of pitavastatin, so that safe and highly effective reduction of the blood cholesterol level can be realized. Enteric-coated granules containing pitavastatin calcium and methacrylic acid-Me methacrylate copolymer (Eudragit L), etc., were

prepared, and then further coated with pitavastatin calcium-containing layers to obtain controlled-release granules.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT PI WO 2003105848 A1 20031224

APPLICATION NO. PATENT NO. KIND DATE PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003241671 A1 20031231 AU 2003-241671 20030616 <-US 20040018235 A1 20040129 US 2003-461432 20030616
EP 1514547 A1 20050316 EP 2003-733434 20030616 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK 20050831 CN 2003-814053 20030616 CN 2009 A1 20071026 HK 2006-102664 20060228 CN 1662237 HK 1082909

AB . . . pitavastatin, so that safe and highly effective reduction of the blood cholesterol level can be realized. Enteric-coated granules containing pitavastatin calcium and methacrylic acid-Me methacrylate copolymer (Eudragit L), etc., were prepared, and then further coated with pitavastatin calcium-containing layers to obtain controlled-release granules.

IT 147511-69-1, Pitavastatin 147526-32-7
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(controlled-release pitavastatin compns. containing enteric layers)

L9 ANSWER 7 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:399770 CA

TITLE: Medical goods comprising heparin or chitosan-based

hemocompatible coating

INVENTOR(S): Horres, Roland; Linssen, Marita Katharina; Hoffmann,

Michael; Faust, Volker; Hoffmann, Erika; Di Biase,

Donato

PATENT ASSIGNEE(S): Hemoteq G.m.b.H., Germany

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND D	DATE APPL	ICATION NO.	DATE
WO 2003094990	A1 2	20031120 WO 2	 003-DE1253	20030415 <
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GM, HR,	U, ID, IL,	IN, IS, JP, KE,	KG, KP, KR, KZ,	LC, LK, LR,

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T 20051117

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AT 2003-729829

ES 2276065

T3 20070616

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NZ 536331

A 20070831

NZ 2003-536331

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A 20050218

IN 2004-MN606

ZA 200408791

A 20050527

ZA 2004-8791

ZA 200408757

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ZA 2004-8757

US 20050176678

A1 20050811

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A 20070706

IN 2005-MN1451

BITY APPLA INFO
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PRIORITY APPLN. INFO.:
                                                              WO 2003-DE1253
                                                                                         W 20030415
                                                               IN 2004-MN606
                                                                                          A3 20041028
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AΒ The invention relates to oligo- and polysaccharides containing the sugar structural element N-acylglucosamine or N-acylgalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or athrombogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacetylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI WO 2003094990 A1 20031120
PATENT NO. KIND DATE APPLICATION NO. DATE

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      Calcium-binding proteins
      Droteins

        Calcium-binding proteins
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        RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
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147511-69-1, Pitavastatin 151499-39-7, Bafilomycin
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185243-69-0, Etanercept
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Peginterferon alfa-2b 265646-19-3, Indanocine 287714-41-4,
Rosuvastatin 625456-01-1, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (medical goods comprising a heparin-based hemocompatible coating)
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ANSWER 8 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         139:391031 CA
TITLE:
                         Pitavastatin Inhibits Upregulation of Intermediate
                         Conductance Calcium-Activated Potassium
                         Channels and Coronary Arteriolar Remodeling Induced by
                         Long-Term Blockade of Nitric Oxide Synthesis
                         Terata, Yutaka; Saito, Takashi; Fujiwara, Yoshimasa;
AUTHOR(S):
                         Hasegawa, Hitoshi; Miura, Hiroto; Watanabe, Hiroyuki;
                         Chiba, Yoshikatsu; Kibira, Satoshi; Miura, Mamoru
CORPORATE SOURCE:
                         Second Department of Internal Medicine, Akita
                         University, Akita, Japan
SOURCE:
                         Pharmacology (2003), 68(4), 169-176
                         CODEN: PHMGBN; ISSN: 0031-7012
PUBLISHER:
                         S. Karger AG
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     We have reported that intermediate conductance Ca2+-activated K+ channels
     (ImK) showed augmented expression in angiotensin II (AII) type 1
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receptor-dependent manner in post-ischemic rat heart. ImK has tyrosine

phosphorylation sequence in the C-terminus and motifs for NF κ B and AP1 in the promoter. While statin inhibits AII-mediated vascular remodeling via anti-inflammatory effect independent of cholesterol lowering. To test the possible effect of statin on expression of ImK, Wistar-Kyoto rats received L-nitro-arginine Me ester (LNAME: 1 mg/mL in drinking water) for 4 wk in group L. While in L+P group, rats received both LNAME and pitavastatin (PTV: 1 mg/kg/day in drinking water). Temporal profile of ImK mRNA was examined by RT-PCR using specific primers for ImK. Long-term LNAME administration produced significant hypertension and resulted in marked microvascular remodeling characterized by medial thickening and perivascular fibrosis of coronary arterioles (100-200 µm in diameter). RT-PCR revealed significant up-regulation of ImK mRNA with two distinct peaks in L group in the early phase (days 3-7) and the late phase (4 wk). PTV partially inhibited a rise in systolic blood pressure, but completely abolished the first peak of ImK upregulation (0.76 \pm 0.04 vs. 3.96 ± 1.43 folds at day 7, p < 0.001). Co-treatments with PTV also significantly inhibited medial thickening and perivascular fibrosis. These findings indicate that statin inhibits microvascular remodeling induced by chronic inhibition of NO synthesis through the action independent of cholesterol lowering.

REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- TI Pitavastatin Inhibits Upregulation of Intermediate Conductance Calcium-Activated Potassium Channels and Coronary Arteriolar Remodeling Induced by Long-Term Blockade of Nitric Oxide Synthesis
- SO Pharmacology (2003), 68(4), 169-176 CODEN: PHMGBN; ISSN: 0031-7012
- IT Electric conductivity

(biol.; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Potassium channel

RL: BSU (Biological study, unclassified); BIOL (Biological study) (calcium-activated; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Fibrosis

(cardiac, coronary arteriole fibrosis; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Cardiovascular agents

Cytoprotective agents

(cardioprotective agents; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Artery

(coronary, arteriole; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Heart, disease

(fibrosis, coronary arteriole fibrosis; pitavastatin inhibits

upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Blood vessel

(microvessel; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Anti-inflammatory agents

Remodeling

(pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT 9028-35-7, NADPH-hydroxymethylglutaryl-CoA reductase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors, statins; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT 10102-43-9, Nitric oxide, biological studies 125978-95-2, NO synthase RL: BSU (Biological study, unclassified); BIOL (Biological study) (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar

calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

L9 ANSWER 9 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:375605 CA

TITLE: Synthesis and uses of 4-azasteroid derivatives as

selective androgen receptor modulators (SARMs)

INVENTOR(S): Wang, Jiabing; McVean, Carol A.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT N		KIND DATE					APPLICATION NO.						DATE				
WO 20030	92588	-		A2 20031113 A3 20040715					WO 2					2	20030425 <		
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PRIORITY APPLN. INFO.:
                                            US 2002-376779P
                                                                P 20020430
                                            WO 2003-US13120
                                                                W 20030425
                                            US 2004-512800
                                                                A1 20041027
OTHER SOURCE(S):
                         MARPAT 139:375605
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GI

AΒ Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

ΡI	WO 2003092588 A2 2003 PATENT NO. KI					0031 KIN		DATE			APPL	ICAT	ION 1	. OV		Di	ATE	
ΡI			0925 0925			A2 A3		2003 2004		,	WO 2	003-	US13	120		2	0030	425 <
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Receptors
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   and uses of 4-azasteroid derivs. as selective androgen receptor
   modulators (SARMs) in the treatment of androgen deficiency-related
   diseases)
7440-70-2, Calcium, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
   (dietary supplements, in addition to SARMs treatment; synthesis and uses
   of 4-azasteroid derivs. as selective androgen receptor modulators
   (SARMs) in the treatment of androgen deficiency-related diseases)
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Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate
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Sodium fluoride, biological studies 7693-13-2, Calcium citrate
9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analog
9002-72-6, Somatotropin 9007-12-9, Calcitonin 10540-29-1, Tamoxifen
10596-23-3
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Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate
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106096-93-9, Basic fibroblast growth factor
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Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin
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145599-86-6, Cerivastatin 147511-69-1, Pitavastatin
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180064-38-4 180916-16-9, Lasofoxifene 182133-25-1, Arzoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A 193830-08-9, GDF5 198481-33-3, TSE 424 205944-50-9, Osteoprotegerin 260055-05-8, Alendronate monosodium monohydrate 287714-41-4, Rosuvastatin 304853-26-7, Growth hormone secretagogue 583063-07-4, 1-84-Parathormone (human) RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

L9 ANSWER 10 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:297028 CA

TITLE: Remedies for glomerular diseases containing

antiplatelet agents and HMG-CoA reductase inhibitors INVENTOR(S): Nakagawa, Takashi; Toyoizumi, Sayaka; Isuge, Masako

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KINI	D	DATE			APPL	ICAT	ION :	ΝΟ.		D.	ATE	
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CA	2478	017			A1		2003	1009		CA 2	003-	2478	017		2	0030	328 <
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EP	1488	808			A1		2004	1222		EP 2	003-	7156	12		2	0030	328
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		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
CN	1642	574			Α		2005	0720		CN 2	003-	8072	03		2	0030	328
US	2005	0256	141		A1		2005	1117		US 2	004-	5048	51		2	0040	826
US	2006	0257	474		A1		2006	1116		US 2	006-	4340	61		2	0060	516
RIORIT											2002-						
										WO 2	003-	JP39	95		W 2	0030	328
										US 2	004-	5048	51		B1 2	0040	826
3 Pr	event.	ives	or	remed	dies	for	alo	meru	lar	dise	ases	COM:	pris	ina	as t	he a	ctive

AB Preventives or remedies for glomerular diseases comprising as the active ingredients an antiplatelet agent and an HMG-CoA reductase inhibitor. The above drugs are useful in preventing or treating various glomerular diseases such as chronic glomerular nephritis. The effect of administration of pitavastatin calcium in combination with dilazep hydrochloride in nephritis rats was examined A tablet containing

pitavastatin calcium 2, dilazep hydrochloride 100, lactose 70, low-substituted hydroxypropyl cellulose 20, hydroxypropyl cellulose 6, and magnesium stearate 2 mg was formulated. THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

WO 2003082338 A1 20031009 PΙ PATENT NO. APPLICATION NO. KIND DATE DATE _____ _____ A1 20031009 WO 2003-JP3995 WO 2003082338 20030328 <--PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20071211 TW 2003-92106940 20030327 20031009 CA 2003-2478017 20030328 20031013 AU 2003-220958 20030328 20041222 EP 2003-715612 20030328 TW 290833 В CA 2478017 20030328 <--Α1 AU 2003220958 Α1 20030328 <--EP 1488808 Α1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK CN 1642574 Α 20050720 CN 2003-807203 20030328 US 2004-504851 A1 US 20050256141 20051117 20040826 20060516 US 20060257474 A1 20061116 US 2006-434061

- . . are useful in preventing or treating various glomerular diseases AB such as chronic glomerular nephritis. The effect of administration of pitavastatin calcium in combination with dilazep hydrochloride in nephritis rats was examined A tablet containing pitavastatin calcium 2, dilazep hydrochloride 100, lactose 70, low-substituted hydroxypropyl cellulose 20, hydroxypropyl cellulose 6, and magnesium stearate 2 mg was formulated.
- 20153-98-4 147526-32-7 ΙT
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)
- 58-32-2, Dipyridamol 5011-34-7, Trimetazidine 15421-84-8, Trapidil ΤТ 35898-87-4, Dilazep 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 145599-86-6, Cerivastatin 147511-69-1,
 - 287714-41-4, Rosuvastatin
 - Pitavastatin
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)
- ANSWER 11 OF 38 CA COPYRIGHT 2008 ACS on STN
- ACCESSION NUMBER: 139:277056 CA
- TITLE: Preparation of fluorinated 4-aza-androstan-3-one-
 - 17β -carboxamide derivatives as androgen receptor
 - modulators
- INVENTOR(S): Meissner, Robert S.; Perkins, James J.
- PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT 1	. O <i>l</i>			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
	20030 W:	0779 AE, CO, GM, LT, PL, UA, GH, KG,	AG, CR, HR, LU, PI, UG, GM, KZ, FR,	AL, CU, HU, LV, RO, US, KE, MD, GB,	A1 AM, CZ, ID, MA, RU, UZ, LS, RU, GR,	AT, DE, IL, MD, SC, VC, MW, TJ,	2003 AU, DK, IN, MG, SD, VN, MZ, TM, IE,	0925 AZ, DM, IS, MK, SE, YU, SD, AT, IT,	BA, DZ, JP, MN, SG, ZA, SL, BE, LU,	WO 2 BB, EC, KE, MW, SK, ZM, SZ, BG, MC,	003-1 BG, EE, KG, MX, SL, ZW TZ, CH,	US82 BR, ES, KR, MZ, TJ, UG, CY, PT,	77 BY, FI, KZ, NI, TM, ZM, CZ, SE,	BZ, GB, LC, NO, TN, ZW, DE, SI,	CA, GD, LK, NZ, TR, AM, DK, SK,	0030 CH, GE, LR, OM, TT, AZ, EE, TR,	307 <- CN, GH, LS, PH, TZ, BY, ES,	
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CN JP NZ RU IN US US MX NO	2003(1652' 2005(5349' 2320(2004(2005) 7186(2004(2004(2007)	IE, 0083 786 5260 46 670 CN02 0165 838 PA08 0043	SI, 55 82 007 039 800 12 042	LT,	LV, A A T A C2 A A1 B2 A	FI,	ES, RO, 2005 2005 2007 2008 2006 2005 2007 2004 2004 2007	CY, 0125 0810 0902 0531 0327 0224 0728 0306 1126 1012	TR,	BG, BR 2 CN 2 JP 2 NZ 2 RU 2 IN 2 US 2 MX 2 NO 2 US 2	CZ,	EE, 8355 8104 5759 5349 1304 CN20 5072 PA88 4312 6050 3638	HU, 85 72 46 52 07 39 00	SK	2 2 2 2 2 2 2 2 2 2 2	0030 0030 0030 0030 0030 0040 0040 0041 0061	307 307 307 307 307 908 909 910 012 128 313	
OTHER SO	OURCE	(S):			MAR:	PAT	139:	2770.		US 2	004-	5072.	39		A1 2	0040	909	

AΒ Fluorinated 4-aza-androstan-3-one- 17β -carboxamide derivs., such as I [a-b = CF:CH, CHFCH2, CF2CH2; R1 = H, CH2OH, (un)substituted alkyl; R2 = H, alkyl; R3 = alkyl, cycloheteroalkyl, aryl, heteroaryl; R2R3 = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one- 17β carboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΡI	WO	2003	0779	19 A	1 2	0030	925												
	PA7	ENT !	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE		
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JP 2005526082
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NZ 534946
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                  C2 20080327 RU 2004-130452
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                                     IN 2004-CN2007
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                   A1 20050728 US 2004-507239
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                   B2 20070306
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                                                            20040910
NO 2004004312
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US 20070088042
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Receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (calcium, antagonist, bone strengthening agents as adjuvant
   therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17\beta-
   carboxamide derivs. as androgen receptor modulators and their
   therapeutic uses)
Dietary supplements
   (calcium, bone strengthening agents as adjuvant therapeutics;
   preparation of fluorinated 4-aza-androstan-3-one-17\beta-carboxamide
  derivs. as androgen receptor modulators and their therapeutic uses)
50-28-2, 17\beta-Estradiol, biological studies 53-16-7, Estrone,
biological studies 67-96-9, Dihydrotachysterol 67-98-1, Mer-25
68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 911-45-5,
Clomiphene
           1845-11-0, Nafoxidene 2809-21-4 4717-38-8,
17\beta-Ethynyl estradiol 5863-35-4, CI-628 7681-49-4, Sodium
fluoride, biological studies 9007-12-9, Calcitonin
                                                     10540-29-1,
TAMOXIFEN 10596-23-3 13598-36-2D, Phosphonic acid,
alkylidene-bis-derivs.
                       15690-55-8, Zuclomiphene 15690-57-0,
Enclomiphene 19356-17-3 20859-36-3, Monosodium fluorophosphate
32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8
50948-44-2, U-11, biological studies 54573-75-0 56287-31-1, CI-680
57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor
62031-54-3, Fibroblast growth factor 66376-36-1, Alendronate
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      105462-24-6 112965-21-6, Calcipotriol 114084-78-5, Ibandronate
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116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2
121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5,
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             180064-38-4 180916-16-9, Lasofoxifene
Pitavastatin
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        182167-03-9, EM-800
                            187483-31-4, U-100A 198481-33-3, Tse-424
205944-50-9, Osteoprotegerin
                            260055-05-8, Alendronate monosodium
monohydrate
            287714-41-4, Rosuvastatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (bone strengthening agents as adjuvant therapeutics; preparation of
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fluorinated 4-aza-androstan-3-one-17 β -carboxamide derivs. as androgen receptor modulators and their therapeutic uses) IT 471-34-1, Calcium carbonate, biological studies 7693-13-2, Calcium citrate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dietary calcium supplement as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 β -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

L9 ANSWER 12 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:214343 CA

TITLE: Process for the manufacture of HMG-CoA reductase

inhibitory mevalonic acid derivatives

INVENTOR(S): Sedelmeier, Gottfried; Mathes, Christian

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT N						DATE					ION :				ATE	
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EP	14786	640			A1		2004	1124		EP 2	003-	7147	50		2	0030	220
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BR	20030 16360 20055	0780	01		Α		2004	1221		BR 2	003-	7801			2	0030	220
CN	16360	004			A		2005	0706	1	CN 2	003-	8042	88		2	0030	220
JP	20055	52081	18		T		2005	0714	1	JP 2	003-	5696	24		2	0030	220
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	20070				A1		2007	0705				6841					
RIORIT	Y APPI	LN. :	INFO	.:								4129					
												EP17					
THER SO	OURCE ((S):			MARI	PAT	139:	2143		US 2	004-	5046	55		A3 2	0040	813

OTHER SOURCE(S): MARPAT 139:214343

GΙ

AB Mevalonic acid derivs. I [R = cyclic residue; X = CH2CH2, CH:CH] are prepared by treating R1R2R3P:CHCOCH2CO2R4 [R1-R3 = (un)substituted Ph; R4 = aliphatic, cycloaliph., aromatic] with RCHO, reducing the resulting RCH:CHCOCH2CO2R4 in presence of a chiral metal BINAP or TsDPEN catalyst, treating the resulting alc. with an ester enolate, reducing the second oxo group, and hydrolyzing the ester group. Thus, C1CH2COCH2CO2Et was treated with PPh3 to give Ph3P:CHCOCH2CO2Et which was treated with 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carboxaldehyde to give (E)-5-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-y1]-3-oxopent-4-enoic acid Et ester. This ester was reduced with Ru[(1R,2R)-p-TsNCHPhCHPhNH](η-p-cymene) and treated with Me3COAc to give (E)-(S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-y1]-5-hydroxy-3-oxohept-4-enoic acid tert.-Bu ester which was reduced with MeOBEt2 and hydrolyzed to give (E)-(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-y1]-3,5-dihydroxyhept-4-enoic acid calcium salt.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΡI		2003 TENT :			1 2	0030	828											FORMAT
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ΡI								2003	0828		WO 2	003-	EP17	38		2	0030	220 <
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3 D		2007												-				
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fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-4-enoic acid tert.-Bu

ester which was reduced with MeOBEt2 and hydrolyzed to give (E)-(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5dihydroxyhept-4-enoic acid calcium salt. 13148-05-5P 106302-03-8P 194934-95-7P 194934-96-8P 194935-00-7P ΙT 375846-20-1P 562099-44-9P 586966-50-9P 586966-51-0P 586966-52-1P 586966-53-2P 586966-54-3P 586966-55-4P 586966-56-5P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid ΙT 94061-80-0P 587840-28-6P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.) ANSWER 13 OF 38 CA COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 139:191440 CA TITLE: Methods of treating or preventing a cardiovascular condition using a cyclooxygenase-1 inhibitor Krul, Elaine S. INVENTOR(S): PATENT ASSIGNEE(S): USA SOURCE: U.S. Pat. Appl. Publ., 32 pp. CODEN: USXXCO DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ US 20030162824 A1 20030828 US 2002-292255 20021112 RITY APPLN. INFO.: US 2001-331346P P 20011112 US 2001-338291P P 20011113 20021112 <--PRIORITY APPLN. INFO.: MARPAT 139:191440 OTHER SOURCE(S): Methods for treating or preventing one or more cardiovascular conditions in a subject comprises treating the subject with a therapeutically effective amount of a selective cyclooxygenase-1 inhibitor or a pharmaceutically-acceptable salt, tautomer or prodrug thereof alone or in combination with either a drug used in the treatment or prevention of a cardiovascular condition or a non-drug therapy used in the treatment of a cardiovascular condition. Cyclooxygenase-1 inhibitor, 5-(4-Chlorophenyl)-1-(4-methoxyphenyl)-3-(trifluoromethyl)pyrazole (I),was prepared from 4'-chloroacetophenone and (4-methoxyphenyl)hydrazine hydrochloride. I inhibited development of atherosclerosis in cholesterol-fed apoE knockout mice. US 20030162824 A1 20030828 PΤ PATENT NO. KIND DATE APPLICATION NO. DATE US 20030162824 A1 20030828 US 2002-292255 20021112 <--PΙ Angiotensin receptor antagonists

Angiotensin receptor antagonists
Anti-inflammatory agents
Antiarteriosclerotics
Antioxidants
Arteriosclerosis

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Atherosclerosis
       Calcium channel blockers
     Diuretics
     Drug delivery systems
     Embolism
     Human
     Kidney, disease
     Mammalia
     Radiotherapy
     Thrombosis
     Vasodilators
     \alpha\text{--}\text{Adrenoceptor} antagonists
     \beta-Adrenoceptor antagonists
        (cyclooxygenase-1 inhibitor for treating or preventing cardiovascular
        conditions)
ΙT
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     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (calcium channel blocker, cerebral vasodilator;
        cyclooxygenase-1 inhibitor for treating or preventing cardiovascular
        conditions)
                          13042-18-7, Fendiline
ΙT
     90-54-0, Etafenone
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (calcium channel blocker, coronary vasodilator;
        cyclooxygenase-1 inhibitor for treating or preventing cardiovascular
        conditions)
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                             2179-37-5, Bencyclane
                                                      52468-60-7, Flunarizine
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (calcium channel blocker, vasodilator; cyclooxygenase-1
        inhibitor for treating or preventing cardiovascular conditions)
     52-53-9, Verapamil 390-64-7, Prenylamine
ΙT
                                                 3416-26-0, Lidoflazine
     6621-47-2, Perhexiline 15793-40-5, Terodiline 16662-47-8, Gallopamil
     21829-25-4, Nifedipine 31309-39-4, Medipine 39562-70-4, Nitrendipine
     42399-41-7, Diltiazem 55985-32-5, Nicardipine 63675-72-9, Nisoldipine
     64706-54-3, Bepridil 72509-76-3, Felodipine 75530-68-6, Nilvadipine
     75695-93-1, Isradipine 86780-90-7, Aranidipine
                                                        88150-42-9, Amlodipine
     96125-53-0, Clentiazem 100427-26-7, Lercanidipine
                                                           103890-78-4,
     Lacidipine 104713-75-9, Barnidipine 105979-17-7, Benidipine
     111011-63-3, Efonidipine 116476-13-2, Semotiadil 116644-53-2,
    Mibefradil 119413-55-7, Elgodipine 132203-70-4, Cilnidipine
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (calcium channel blocker; cyclooxygenase-1 inhibitor for
        treating or preventing cardiovascular conditions)
     73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin
ΤТ
    81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 147511-69-1, Pitavastatin 287714-41-4,
     Rosuvastatin
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (lipid-lowering drug; cyclooxygenase-1 inhibitor for treating or
        preventing cardiovascular conditions)
1.9
     ANSWER 14 OF 38 CA COPYRIGHT 2008 ACS on STN
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139:164712 CA

ACCESSION NUMBER:

TITLE: Asymmetric titanium mediated disilyloxydiene/aldehyde

addition process for the preparation of

 δ -hydroxy- β -ketoesters.

INVENTOR(S): Chen, Guang-Pei; Kapa, Prasad Koteswara; Loeser, Eric

M.; Beutler, Ulrich; Zaugg, Werner; Girgis, Michael J.

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT						DATE			APPL:						ATE	
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BR	2003 2005 1625 2003	00/2.		A		2004	1207		BR Z	003-	/236 EC40	ΛE		2	0030	127	
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N7	5341	2 <i>552</i> 36	<i>J</i> 4		Δ		2007	101J		NZ 2 NZ 2 ZA 2 US 2	003 -	53 <i>1</i> 1	36		2	0030	127
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										US 2							
									•	US 2	003-	3506	15		A3 2	0030	124
										WO 2					W 2	0030	127
OTHER SO	ER SOURCE(S):					REAC	T 13	9:16	4712	; MAI	RPAT	139	:164	712			

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of I [R1 = (un)substituted (cyclo)alkyl, aralkyl; R2-7 = H, halo, OH, (un)substituted (cyclo)alkyl, aryl, aralkyl, etc.] and

mediated addition of II [R1 = as above; R, R' = alky1] to III [R2-7 = asabove]. For instance, II [R1 = Et; R, R' = Me] (preparation given) is reacted with III [R2 = F; R3-7 = H] (THF, 4Å mol. sieves, (S)-BINOL/Ti(OPri)4, 19°, 2 days) to give I [R1 = Et; R2 = F; R3-7 = H] in 81.6% yield (after purification) and the amount of undesired enantiomer was below the limit of detection. Addnl. examples demonstrated sidechain manipulation (to the $\delta(S) - \beta(R)$ -ester) and subsequent conversion to pitavastatin (calcium salt) via the intermediacy of the 2H-pyranone. Exptl. details regarding mol. sieve preparation and their use in a fixed bed reactor are given. PΙ WO 2003064382 A2 20030807 PATENT NO. KIND DATE APPLICATION NO. DATE _____ ____ _____ _____ A2 20030807 WO 2003-EP804 A3 20031211 WO 2003064382 20030127 <--PΙ WO 2003064382 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW

RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR US 20030208072 Α1 20031106 US 2003-350615 20030124 <--US 6835838 В2 20041228 CA 2003-2472340 EP 2003-734696 A1 CA 2472340 2003001 20041103 20030807 20030127 <--20030127 EP 1472227 A2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003007236 A 20041207 BR 2003-7236 20030127 T JP 2005516064 20050602 JP 2003-564005 20030127 CN 1625550 Α 20050608 CN 2003-802877 20030127 CN 1625550 A 20050608 CN 2003-802877
AU 2003239294 B2 20061019 AU 2003-239294
NZ 534136 A 20070831 NZ 2003-534136
ZA 2004005239 A 20050617 ZA 2004-5239
US 20040249154 A1 20041209 US 2004-891357
IN 2004CN01635 A 20060224 IN 2004-CN1635
MX 2004PA07308 A 20041029 MX 2004-PA7308
NO 2004003586 A 20041029 MX 2004-PA7308
AU 2006225205 A1 20061026 AU 2006-225205
AU 2006225206 A1 20061026 AU 2006-225206 20030127 20030127 20040714 20040723 20040728 20040827 20061003 AU 2006-225206 20061003 . . . enantiomer was below the limit of detection. Addnl. examples AB demonstrated sidechain manipulation (to the $\delta(S)$ - $\beta(R)$ -ester) and subsequent conversion to pitavastatin (calcium salt) via the intermediacy of the 2H-pyranone. Exptl. details regarding mol. sieve preparation and their use in a fixed bed. . . 13257-83-5P, 3-((Trimethylsilanyl)oxy)but-2-enoic acid ethyl ester ΙT 89186-81-2P, 1-Ethoxy-1,3-bis(trimethylsilanyloxy)butan-1,3-diene 141750-63-2P 167073-19-0P 254452-91-0P 574705-92-3P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ -hydroxy- β -ketoesters) 562099-39-2P 562099-40-5P 573649-74-8P 573649-75-9P 147526-32-7P 562099-41-6P ΙT 562099-43-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

analogs is disclosed. The process involves the Ti(OPr-i)4/(S)-BINOL

(Preparation)

(asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of $\delta\text{-hydroxy-}\beta\text{-ketoesters})$

L9 ANSWER 15 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:164542 CA

TITLE: Preparation of cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia

and IKur-associated conditions

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;

Gross, Michael F.; Beaudoin, Serge

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 312 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

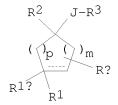
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 139:164542

GΙ



AB Claimed are novel cycloalkyl compds. (shown as I; variables defined below; e.g. cis- and trans-N-(4-hydroxy-1-thiophen-2-ylcyclohexylmethyl)-2- methoxybenzamide and trans-N-[[4-[N'-cyano-N''-ethyl-N-(furan-2-ylmethyl)guanidino]-1-phenylcyclohexyl]methyl]-2-methoxybenzamide) useful as inhibitors of K channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which was linked to the ultra-rapidly activating delayed rectifier K+ current IKur; no data), methods of using such compds. in the prevention and treatment of arrhythmia and IKur-associated conditions, and pharmaceutical compns. containing

such compds. For I: dashed line = an optional double bond, provided that R1a is absent when a double bond is present; m and p = 0-3; R1 = H, NR8C(:W)NR6R7 (W = NR8a2, NC02R8a2, NC(0)R8a2, NCN, NS02R8a2), NR8SO2NR6R7, etc.; R1a = H, RX; or R1 and R1a together form oxo; or R1 and R1a together with the C atom to which they are attached combine to form an (un)substituted spiro-fused heterocyclo group; or R1 and R1a together combine to form :CR8R9. R2 is heteroaryl, (heteroaryl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, alkyl, alkenyl or cycloalkyl; J is a bond, C1-4 alkylene or C1-4 alkenylene; R3 = R5 (R5 = NR6aR7a, heteroaryl, (heteroaryl)alkyl, aryl, arylalkyl, alkyl, etc.), OR5, C(:Z1)R5, OC(:Z1)R5, C(:Z1)OR5, NR8a1C(:Z1)R5, etc.; RX is one or more optional substituents, attached to any available ring carbon atom; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, >600 example prepns. are included. WO 2003063797 A2 20030807

APPLICATION NO. PATENT NO. KIND DATE ____ WO 2003063797 A2 20030807 WO 2003-US3170 20030131 <--PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2474451 20030807 CA 2003-2474451 20030131 <--Α1 A1 US 2003-356158 US 20040072880 20040415 20030131 EP 2003-735126 EP 1507504 Α1 20050223 20030131 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK CN 1732146 A 20060208 CN 2003-807570 20030131 JP 2006508016 T 20060309 JP 2003-563493 20030131 BR 2003007329 A 20060411 BR 2003-7329 20030131

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NZ 534098 A 20070427 NZ 2003-534098
IN 2004DN02052 A 20050401 IN 2004-DN2052
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     Angiotensin receptor antagonists
ΙT
      Anticoagulants
      Antihypertensives
        Calcium channel blockers
      Platelet aggregation inhibitors
      \beta-Adrenoceptor antagonists
          (combined with cycloalkyl inhibitors of potassium channel function for
         preventing/treating arrhythmia and IKur-associated conditions)
      50-78-2, Aspirin 52-01-7, Spironolactone 52-53-9, Verapamil
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      Gemopatrilat
      191588-94-0, Tenecteplase 287714-41-4, Rosuvastatin
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
          (combined with cycloalkyl inhibitors of potassium channel function for
         preventing/treating arrhythmia and IKur-associated conditions)
     ANSWER 16 OF 38 CA COPYRIGHT 2008 ACS on STN
                              139:149536 CA
ACCESSION NUMBER:
TITLE:
                              Preparation of an asymmetric \beta, \delta-
                              dihydroxycarboxylic acid side chain used for the
                              manufacture of a HMG-CoA reductase inhibitors
INVENTOR(S):
                              Acemoglu, Murat; Riss, Bernhard
                              Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PATENT ASSIGNEE(S):
                              PCT Int. Appl., 51 pp.
SOURCE:
                              CODEN: PIXXD2
DOCUMENT TYPE:
                              Pat.ent.
                              English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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      WO 2003064392
                             A1 20030807 WO 2003-EP954 20030130 <--
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OTHER SC	DURCE(S):		Mare	PAT 139:14953	36			

GI

AB A process for the stereoselective preparation of a β , δ -dihydroxycarboxylic acid I [R = cyclic residue] is disclosed. For instance, glutaric acid diamide analog II (preparation given) is reacted with methanephosphonic acid di-Et ester (THF, n-BuLi, -78°) and the resulting phosphonate condensed with [2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]carboxaldehyde (i-PrOH, Cs2CO3) to give the

corresponding E-olefin. This intermediate is deprotected and reduced (THF, NaBH4, Me2BOMe, -78°, 30 min) to give III. Addnl. examples demonstrate the conversion of III (optionally via the intermediacy of a 2H-pyran intermediate) to pitavastatin (calcium salt).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΡΙ	PAT	2003064392 A	KI	ND	DATE	APPLICATION NO. DATE
ΡI						WO 2003-EP954 20030130 <
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AB		to give	e III.	Addn	1. examp	les demonstrate the conversion of III

AB . . . to give III. Addnl. examples demonstrate the conversion of III (optionally via the intermediacy of a 2H-pyran intermediate) to pitavastatin (calcium salt).

IT 94061-80-0P 147526-32-7P, Pitavastatin hemicalcium

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of an asym. β , δ -dihydroxycarboxylic acid side chain used for manufacture of a HMG-CoA reductase inhibitors)

L9 ANSWER 17 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:90459 CA

TITLE: Use of an immediate-release powder in pharmaceutical

and nutraceutical compositions

INVENTOR(S): Besse, Jerome; Besse, Laurence

PATENT ASSIGNEE(S): Fr.

SOURCE: U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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      one active substance, at least one surfactant, at least one wetting agent
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      composition, this composition allowing rapid and immediate release of the
      substance. Granules containing phloroglucinol 10, sorbitol 89, and propylene
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      US 20030124191 A1 20030703
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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (use of immediate-release powder in pharmaceutical and nutraceutical
   compns.)
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L9 ANSWER 18 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 138:343864 CA

TITLE: In vivo delivery methods and compositions

INVENTOR(S):
Kensey, Kenneth

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S.

Ser. No. 819,924.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

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AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least 1 drug. Agents effective to regulate at least 1 of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

PI US 20030078517 A1 20030424

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Adrenoceptor antagonists
Agglutination
Animal tissue
Antiarrhythmics
Anticholesteremic agents
Anticoagulants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Appetite depressants
Artery, disease
Blood
Blood coagulation
  Calcium channel blockers
Dietary supplements
Electrolytes
Erythrocyte
Heart
Human
Hypolipemic agents
Lubricants
Organ, animal
Platelet aggregation
Platelet aggregation inhibitors
Shear
Shear stress
Surfactants
Thixotropy
Thrombus
Tobacco products
Vasodilators
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Viscosity β -Adrenoceptor antagonists (in vivo delivery methods and compns.) ΙT 50-28-2, Estradiol, biological studies 50-78-2, Aspirin 52-01-7, 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9, Spironolactone 55-63-0, Nitroglycerin 57-63-6, Ethinyl estradiol Furosemide 57-83-0, Progestin, biological studies 58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5, Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide 68-22-4, Norethindrone 69-65-8, Mannitol 70-51-9 72-33-3, Mestranol 81-81-2, Warfarin 86-54-4, Hydralazine 87-33-2, Isosorbide dinitrate 94-20-2, Chlorpropamide 122-09-8, Phentermine 396-01-0, Triamterene 520-85-4, Medroxyprogesterone 525-66-6, Propranolol 634-03-7, Phendimetrazine 637-07-0, Clofibrate 657-24-9, Metformin 797-63-7, Levonorgestrel 1156-19-0, Tolazamide 1231-93-2, Ethynodiol 2098-66-0, Cyproterone 3056-17-5, Stavudine 3930-20-9, Sotalol 4291-63-8, Cladribine 6533-00-2, Norgestrel 7631-86-9, Silicon dioxide, biological studies 8001-27-2, Hirudin 9000-69-5, Pectin 9000-94-6, Antithrombin III 9002-01-1, Streptokinase 9002-18-0, Agar 9002-72-6, Somatotropin 9004-10-8, Insulin, biological studies 9004-67-5, Methylcellulose 9005-27-0, Hetastarch 9007-12-9, Calcitonin 9039-53-6, Urokinase 10238-21-8, Glyburide 11041-12-6, Cholestyramine 12650-69-0, Mupirocin 13523-86-9, Pindolol 14808-79-8, Sulfate, biological studies 15291-77-7, Ginkgolide B 15307-86-5, Diclofenac 16051-77-7, Isosorbide mononitrate 17560-51-9, Metolazone 18559-94-9, Salbutamol 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 24967-94-0, Dermatan sulfate 25322-68-3, Polyethylene glycol 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide 28523-86-6, 28721-07-5, Oxcarbazepine 29094-61-9, Glipizide Sevoflurane 29122-68-7, Atenolol 29457-07-6, Ticarcillin disodium 30516-87-1, Zidovudine 32222-06-3, Calcitriol 34391-04-3, Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Bupropion 35189-28-7, Norgestimate 42200-33-9, Nadolol 38304-91-5, Minoxidil 39562-70-4, Nitrendipine 42399-41-7, Diltiazem 42924-53-8, Nabumetone 47141-42-4, Levobunolol 49562-28-9, Fenofibrate 50925-79-6, Colestipol 51333-22-3, Budesonide 51384-51-1, Metoprolol 54024-22-5, Desogestrel 55142-85-3, Ticlopidine 55985-32-5, Nicardipine 56180-94-0, Acarbose 56211-40-6, Torsemide 56420-45-2, Epirubicin 59122-46-2, Misoprostol 60282-87-3, Gestodene 62571-86-2, Captopril 63612-50-0, Nilutamide 63675-72-9, Nisoldipine 64221-86-9, Imipenem 64544-07-6, Cefuroxime axetil 64706-54-3, Bepridil 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 67227-56-9, Fenoldopam 68252-19-7, Pirmenol 68291-97-4, Zonisamide 69655-05-6, Didanosine 71119-11-4, Bucindolol 71486-22-1, Vinorelbine 72509-76-3, Felodipine 72956-09-3, Carvedilol 73573-87-2, Formoterol 73963-72-1, Cilostazol 74191-85-8, Doxazosin 74863-84-6, Argatroban 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril 76547-98-3, Lisinopril 77191-36-7, Nefiracetam 78415-72-2, Milrinone 79350-37-1, Cefixime 79902-63-9, Simvastatin 80474-14-2, FLuticasone propionate 81732-65-2, Bambuterol 82410-32-0, Ganciclovir 83869-56-1, GM-CSF 84057-84-1, Lamotrigine 84057-95-4, Ropivacaine 84449-90-1, Raloxifene 84625-59-2, Dotarizine 85441-61-8, Quinapril 86541-75-5, Benazepril 86780-90-7, Aranidipine 87239-81-4, Cefpodoxime proxetil 87333-19-5, Ramipril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 89565-68-4, Tropisetron 90729-41-2, Oxodipine 92665-29-7, Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94535-50-9, Lemakalim 94739-29-4, Lemildipine 95058-81-4, Gemcitabine 96036-03-2, Meropenem 96125-53-0, Clentiazem

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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
   (in vivo delivery methods and compns.)
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L9 ANSWER 19 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 138:281598 CA

TITLE: Androstane compounds as androgen receptor (AR)

modulators for the treatment of AR-related diseases

INVENTOR(S):
Wang, Jiabing

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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OTHER SOURCE(S):
                        MARPAT 138:281598
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AΒ Compds. of structural formula (I) as herein defined are claimed as useful in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those compds. with bone-strengthening agents are also claimed.

PI WO 2003026568 A2 20030403

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2003026568 A2 20030403 WO 2002-US29436 20020917 <--
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ΙT
     Receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
         (calcium, antagonists; androstane compds. as androgen
         receptor (AR) modulators in conjunction with bone-strengthening agents
         for treatment of AR-related diseases)
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

L9 ANSWER 20 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 138:231777 CA

TITLE: Use of statins to inhibit formation of osteoclasts INVENTOR(S): Baragi, Vijaykumar M.; Devalaraja, Radhika; Peters,

Brandon R.; Renkiewicz, Richard Raymond

PATENT ASSIGNEE(S): Warner-Lambert Company, USA SOURCE: Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP	1291017	A2	20030312	EP 2002-19026	20020827 <
EP	1291017	A3	20030702		
	R: AT, BE, CH	I, DE, DI	K, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
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CN	1403081	A	20030319	CN 2002-132146	20020903 <
NZ	521188	A	20040625	NZ 2002-521188	20020904
TW	226238	В	20050111	TW 2002-91120188	20020904
CA	2401319	A1	20030310	CA 2002-2401319	20020905 <
AU	2002300900	A1	20030612	AU 2002-300900	20020906 <
BR	2002003656	A	20030603	BR 2002-3656	20020909 <
HU	2002002969	A2	20030728	HU 2002-2969	20020909 <
HU	2002002969	A3	20040830		
ZA	2002007233	A	20040309	ZA 2002-7233	20020909
US	20030055101	A1	20030320	US 2002-238266	20020910 <
JP	2003104883	A	20030409	JP 2002-264412	20020910 <
PRIORIT	Y APPLN. INFO.:			US 2001-318450P	P 20010910

AB A method for inhibiting the formation of osteoclasts comprising administering a therapeutically effective amount of a statin to a mammal in need thereof as well as pharmaceutical compns., kits for containing such compns. comprising a statin or a method of treating or preventing a disease state selected from the group consisting of: osteoporosis, Paget's disease, osteolysis, hypercalcemia of malignancy, osteogenesis imperfecta, osteoarthritis, alveolar bone loss, side effects of immunosuppressive therapy, and side effects of chronic glucocorticoid use by inhibiting the formation of osteoclasts comprising administering a therapeutically effective amount of a statin to a mammal in need thereof.

PI EP 1291017 A2 20030312

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 1291017	A2	20030312	EP 2002-19026	20020827 <
	EP 1291017	A3	20030702		

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
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     TW 226238
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                                                                           20020904
     CA 2401319
     CA 2401319 A1 20030310 CA 2002-2401319

AU 2002300900 A1 20030612 AU 2002-300900

BR 2002003656 A 20030603 BR 2002-3656

HU 2002002969 A2 20030728 HU 2002-2969

HU 2002002969 A3 20040830

ZA 2002007233 A 20040309 ZA 2002-7233
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JP 2003104883 A 20030409 JP 2002-264412
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ΙT
     7440-70-2, Calcium, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
         (hypercalcemia; use of statins to inhibit formation of osteoclasts)
     73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 134523-03-8, Atorvastatin calcium 145599-86-6,
ΤТ
     Cerivastatin 147511-69-1 287714-41-4, Rosuvastatin
     501121-34-2
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (use of statins to inhibit formation of osteoclasts)
     ANSWER 21 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 138:204870 CA
TITLE:
                            Processes for preparing calcium salt forms
                            of statins
INVENTOR(S):
                            Niddam-Hildesheim, Valerie; Lifshitz-Liron, Revital;
                            Lidor-Hadas, Rami
PATENT ASSIGNEE(S):
                            Teva Pharmaceutical Industries Ltd., Israel; Teva
                            Pharmaceuticals USA, Inc.
SOURCE:
                            PCT Int. Appl., 32 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:
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     WO 2003016317 A1 20030227 WO 2002-US26012 20020816 <--
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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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               NE, SN, TD, TG
     US 6528661 B2 20030304 CA 2450820 A1 20030327 CA 2002-2450820 20020816 <--
AU 2003324715 A1 20030619 US 2002-222556 20020816 <--
                                                 US 2001-37412
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EP 1	14252	287			A1		2004	0609	Ε	P 2	2002-	7593	74			200	208	316
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ZA 2	20030	093	73		A		2004	1202	Z	A 2	2003-	9373				200	312	202
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NO 2	20040	010	82		А		2004	0315	И	0 2	2004-	1082				200	403	315
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PRIORITY	APPI	LN.	INFO	.:							2001-				Р	200		
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									-	-	2001-	-			Р	200		-
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											2002-				Τ0	200		-
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											2002-					200		
											2002-				W	200		
									U	S 2	2004-	8034	14		A1	200	403	318
OTHED COL		(())			MADE	ידית	120.	വര വര	7 🔿									

OTHER SOURCE(S): MARPAT 138:204870

AB Processes for preparing hemicalcium salts of a statins RCH(OH)CH2CH(OH)CH2CO2H (R = statin organic radical selected from pravastatin, fluvastatin, cerivastatin, atorvastatin, rosuvastatin, pitavastatin, simvastatin, or lovastatin) from an ester derivative or protected ester derivative of the statin by using calcium hydroxide are provided. The ester or protected ester derivative is contacted with calcium hydroxide to obtain the calcium salt. Preferred statins are rosuvastatin, pitavastatin and atorvastatin, simvastatin and lovastatin. In processes beginning with a protected satin ester derivative, the protecting group is hydrolyzed during salt formation by contact with

calcium hydroxide, or is contacted with an acid catalyst followed by contact with calcium hydroxide. Thus, diol-protected atorvastatin ester I (R = CMe3, R3R5 = CMe2) was treated with an 80% aqueous soln of AcOH at rt for 20 h to form the deprotected ester I (R = CMe3, R3 = R5 = H) which was in turn dissolved in EtOH, treated with a saturated soln of Ca(OH)2 containing Bu4N+Br- and stirred at 45° for 24 h to give atorvastatin hemicalcium salt I (R = 1/2Ca, R3 = R5 = H) in 77% yield for the two steps.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Processes for preparing calcium salt forms of statins

ΡΙ	WO 2003016317 A1	20030227		
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	W0 2003016317 W: AE, AG, 2 CO, CR, 6 GM, HR, 1 LS, LT, 2 PL, PT, 1 UA, UG, 1	A1 20030227 AL, AM, AT, AU, AZ, CU, CZ, DE, DK, DM, HU, ID, IL, IN, IS, LU, LV, MA, MD, MG, RO, RU, SD, SE, SG, US, UZ, VC, VN, YU,	WO 2002-US26012 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SI, SK, SL, TJ, TM, ZA, ZM, ZW	20020816 < BZ, CA, CH, CN, GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, OM, PH, TN, TR, TT, TZ,
			SL, SZ, TZ, UG, ZM,	
			FI, FR, GB, GR, IE, CG, CI, CM, GA, GN,	
	US 20020099224 US 6528661	A1 20020725 B2 20030304	US 2001-37412 CA 2002-2450820 AU 2002-324715 US 2002-222556 EP 2002-759374	20011024 <
	CA 2450820	A1 20030227	CA 2002-2450820	20020816 <
	AU 2002324715	A1 20030303	AU 2002-324715	20020816 <
	US 20030114685	A1 20030619	US 2002-222556	20020816 <
	US 6777552	B2 20040817	TD 0000 750074	0000016
	DI 1123207	20010003	DI 2002 133311	20020010
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	CN 1543468	A 20040321	TR 2003-2281 CN 2002-815999	20020010
	JP 2005500382	т 20050106	JP 2003-521239	20020816
	NZ 529913	A 20050324	NZ 2002-529913	20020816
	HU 2005000616	A2 20051128	HU 2005-616	20020816
	ZA 2003009373	A 20041202	ZA 2003-9373	20031202
			IN 2003-MN1112	
	MX 2004PA01451	A 20050217	MX 2004-PA1451	20040213
	NO 2004001082	A 20040315	NO 2004-1082 US 2004-803414	20040315
	US 20040176615	A1 20040909	US 2004-803414	20040318
	US 20050197501	A1 20050908	US 2005-120567 AU 2007-205725	20050502
AB	cerivas	tatin, atorvastatin,	, rosuvastatin, pitav	astatin,

AB . . . cerivastatin, atorvastatin, rosuvastatin, pitavastatin, simvastatin, or lovastatin) from an ester derivative or protected ester derivative

of the statin by using calcium hydroxide are provided. The ester or protected ester derivative is contacted with calcium hydroxide to obtain the calcium salt. Preferred statins are rosuvastatin, pitavastatin and atorvastatin, simvastatin and lovastatin. In processes beginning with a protected satin ester derivative, the protecting group is hydrolyzed during salt formation by contact with calcium hydroxide, or is contacted with an acid catalyst followed by contact with calcium hydroxide. Thus, diol-protected atorvastatin ester I (R =

```
CMe3, R3R5 = CMe2) was treated with an 80\% aqueous soln of. . . = CMe3, R3
     = R5 = H) which was in turn dissolved in EtOH, treated with a saturated soln
     of Ca(OH)2 containing Bu4N+Br- and stirred at 45^{\circ} for 24 h to
     give atorvastatin hemicalcium salt I (R = 1/2Ca, R3 = . . .
ST
     statin calcium salt prepn; rosuvastatin hemicalcium salt prepn;
     pitavastatin hemicalcium salt prepn; atorvastatin hemicalcium salt prepn;
     simvastatin hemicalcium salt prepn; lovastatin hemicalcium. . .
     134395-00-9P
ΙT
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
         (processes for preparing calcium salt forms of statins)
     77550-72-2P, Lovastatin hemicalcium 125995-03-1P, Atorvastatin lactone
ΤТ
     134523-00-5P, Atorvastatin 134523-03-8P, Atorvastatin hemicalcium
     141750-63-2P, Pitavastatin lactone 147098-20-2P, Rosuvastatin
     hemicalcium 147526-32-7P, Pitavastatin hemicalcium
     151006-06-3P, Pravastatin hemicalcium 151006-18-7P, Simvastatin
     hemicalcium 500103-16-2P, Fluvastatin hemicalcium 500103-17-3P,
     Cerivastatin hemicalcium
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
      (Preparation)
         (processes for preparing calcium salt forms of statins)
ΙT
     125971-95-1 147118-40-9 167073-19-0
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (processes for preparing calcium salt forms of statins)
     1305-62-0, Calcium hydroxide, reactions
ΙT
     RL: RGT (Reagent); RACT (Reactant or reagent)
         (processes for preparing calcium salt forms of statins)
     ANSWER 22 OF 38 CA COPYRIGHT 2008 ACS on STN
1.9
ACCESSION NUMBER: 138:14048 CA
TITLE:
                            Preparation of oxazolylethoxyphenylprolines and
                            related compounds as antidiabetic and antiobesity
                            agents.
INVENTOR(S):
                           Cheng, Peter T.; Jeon, Yoon; Wang, Wei
PATENT ASSIGNEE(S):
                          Bristol-Myers Squibb Company, USA
SOURCE:
                           PCT Int. Appl., 107 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                   KIND DATE APPLICATION NO. DATE
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      WO 2002096357
      A2
      20021205

      WO 2002096357
      A3
      20030925

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
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              GN, GQ, GW, ML, MR, NE, SN, TD, TG
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A1 20030515 US 2002-153342 20020522 <--

US 20030092697

US 7105556 20060912 В2 CA 2449006 Α1 20021205 CA 2002-2449006 20020523 <--AU 2002310141 20021209 AU 2002-310141 Α1 20020523 <--EP 1401433 Α2 20040331 EP 2002-737192 20020523 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2005506954 Τ 20050310 JP 2002-592870 20020523 HU 2006000226 Α2 20061128 HU 2006-226 20020523 US 20060189598 Α1 20060824 US 2006-406799 20060419 PRIORITY APPLN. INFO.: US 2001-294505P 20010530 US 2002-153342 A3 20020522 WO 2002-US16628 W 20020523 OTHER SOURCE(S): MARPAT 138:14048

Ι

GΙ

R2?

R2?

$$X3 \times X^4$$
 $X^2 \times X^3 \times X^4$
 $X^2 \times X^4 \times X^4$
 $X^2 \times X^$

AΒ Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, with an alkenyl or alkynyl bond in the chain, $(CH2) \times 20(CH2) \times 3$; x = 1-5; x1 = 2-5; x2, x3 = 0-5; provided that ≥ 1 of x2 and $x3 \neq 0$; x1 = CH, x2X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that ≥ 1 of X2, X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroaryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, aryloxyheteroarylalkyl, heteroarylalkyloxyarylalkyl, arylarylalkyl, arylalkenylarylalkyl, arylaminoarylalkyl, etc.; Y = CO2R4, 1-tetrazolyl, P(0)(OR4a)R5, P(0)(OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; Z = $(CH2) \times 4$, $(CH2) \times 5$, $(CH2) \times 60$ $(CH2) \times 7$; $\times 4$ = 1-5; x5 = 2-5; x6, x7 = 0-4], were prepared as antidiabetic and antiobesity agents (no data). Thus, the title compound (II) was prepared in 6 steps. PΙ WO 2002096357 A2 20021205

ΙI

PATENT NO. KIND DATE APPLICATION NO. DATE

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     WO 2002096357 A2 20021205 WO 2002-US16628 20020523 <-- WO 2002096357 A3 20030925
PΙ
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      JP 2002-592870

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      HU 2006-226

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                                                                            20020523
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                                                                            20060419
     Angiotensin receptor antagonists
ΙT
     Antiosteoporotic agents
     Appetite depressants
       Calcium channel blockers
     Platelet aggregation inhibitors
     \beta-Adrenoceptor antagonists
     \beta3-Adrenoceptor agonists
     RL: BIOL (Biological study); USES (Uses)
         (coadministration; preparation of oxazolylethoxyphenylprolines and related
         compds. as antidiabetic and antiobesity agents)
ΙT
     50-78-2, Aspirin 51-64-9, Dexamphetamine 52-53-9, Verapamil 58-32-2,
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     Clofibrate 657-24-9, Metformin 943-45-3D, Fibric acid, derivs.
     4205-91-8, Clonidine hydrochloride 9004-10-8, Insulin, biological
     studies 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine
     19237-84-4, Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4,
     Nifedipine 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 29094-61-9,
     Glipizide 42200-33-9, Nadolol 49562-28-9, Fenofibrate 54870-28-9,
     Meglitinide 55142-85-3, Ticlopidine 56180-94-0, Acarbose 62571-86-2,
     Captopril 72432-03-2, Miglitol 72956-09-3, Carvedilol 75330-75-5,
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     85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril
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     160135-92-2, Gemopatrilat 161600-01-7, Isaglitazone 166518-60-1,
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Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440 170861-63-9, JTT 501 176435-10-2, LY 315902 178759-95-0, MD 700 182815-44-7, Cholestagel 196808-45-4, GI 262570 199113-98-9, NN 2344 199914-96-0, YM 440 213252-19-8, KRP 297 244081-42-3, AJ 9677 251565-85-2, AR-H 039242 251572-86-8, P 32/98 258345-41-4, GW 409544 282526-98-1, ATL 962 287714-41-4 335149-08-1, L 895645 335149-14-9, R 119702 335149-15-0, KAD 1129 335149-23-0, NVP-DPP 728A 335149-25-2, CP 331648 430433-17-3, Glipyride 444069-80-1, Axokine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)

ANSWER 23 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:337790 CA

TITLE: Preparation of 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-

quinolyl]-3,5-dihydroxy-6-heptenoic acid as remedial

agent for glomerular disease

Nakagawa, Takashi; Suda, Makoto; Yamauchi, Youichi INVENTOR(S): PATENT ASSIGNEE(S):

Kowa Co., Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIND DATE			APPLICATION NO.						DATE 				
WC	2002	20853	 63		A1	_	2002	1031		WO 2	002-	JP38	70		2	0020	 418 <	(
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG	
AU	J 2002	22514	83		A1		2002	1105		AU 2	002-	2514	83		2	0020	418 <	(
EP	1386	608			A1		2004	0204		EP 2	002-	7204	93		2	0020	418	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
US	2004	10116	468		A1		2004	0617		US 2	003-	4741	94		2	0031	016	
PRIORIT	Y APE	LN.	INFO	.:					1	JP 2	001-	1210	58		A 2	0010	419	
										JP 2	001-	3612	57		A 2	0011	127	
									,	WO 2	002-	JP38	70	1	W 2	0020	418	
CT																		

GΙ

Disclosed is a preventive or remedy for glomerular diseases which contains as the active ingredient the compound represented by the following formula (I) or a salt of the compound The preventive or remedy is useful as a preventive or remedy for various glomerular diseases including IgA kidney disease, glomerulosclerosis, membranous nephropathy, membranous proliferative nephritis, and chronic glomerulonephritis. The compound I is known to possess excellent HMG-CoA reductase inhibitory activity (no data). Thus, calcium bis[(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoate] (II) was prepared via conversion of 2-amino-4'-fluorobenzophenone into Me 3-cyclopropyl-4-(4-fluorophenyl)-3-quinolinecarboxylate by the known procedures. II showed IC50 of 22.4 μ M for inhibiting the production of phosphatidylinositol 4-phosphate (PIP) stimulated by TGF- β 1 in human glomerular interstitial cell CryoNHMC (mesangium cell).

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΡI	WO 2002085363 A1 2		CITATIONS AVAILABLE I	N THE RE FORMAT
11	PATENT NO.		APPLICATION NO.	DATE
ΡI	WO 2002085363	A1 20021031	WO 2002-JP3870	20020418 <
	W: AE, AG, AL,	AM, AT, AU, AZ, B	A, BB, BG, BR, BY, BZ,	CA, CH, CN,
	CO, CR, CU,	CZ, DE, DK, DM, D	Z, EC, EE, ES, FI, GB,	GD, GE, GH,
			P, KE, KG, KP, KR, KZ,	
	LS, LT, LU,	LV, MA, MD, MG, M	K, MN, MW, MX, MZ, NO,	NZ, OM, PH,
			I, SK, SL, TJ, TM, TN,	
		UZ, VN, YU, ZA, ZI		
	RW: GH, GM, KE,	LS, MW, MZ, SD, S	L, SZ, TZ, UG, ZM, ZW,	AT, BE, CH,
	CY, DE, DK,	ES, FI, FR, GB, G	R, IE, IT, LU, MC, NL,	PT, SE, TR,
	BF, BJ, CF,	CG, CI, CM, GA, G	N, GQ, GW, ML, MR, NE,	SN, TD, TG
	AU 2002251483	A1 20021105	AU 2002-251483	20020418 <
	EP 1386608	A1 20040204	EP 2002-720493	20020418
	R: AT, BE, CH,	DE, DK, ES, FR, G	B, GR, IT, LI, LU, NL,	SE, MC, PT,
	IE, SI, LT,	LV, FI, RO, MK, C	Y, AL, TR	
	US 20040116468	A1 20040617	US 2003-474194	20031016
AB	proliferat	tive nephritis, and	chronic glomeruloneph	ritis. The
	-	-	ent HMG-CoA reductase	inhibitory
	activity (no data).			
			oly1]-3,5-dihydroxy-6-	-
			-amino-4'-fluorobenzop	
			inolinecarboxylate by	the known
	procedures. II sho	wed IC50 of 22.4.	•	

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121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-
ΤТ
    dihydroxy-6-heptenoic acid 147511-69-1P, (+)-(3R,5S,6E)-7-[2-1]
    Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid
    147526-32-7P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid as
       remedial agent for glomerular diseases)
    ANSWER 24 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                      137:299919 CA
                        Stable pharmaceutical composition containing NK-104 \,
TITLE:
INVENTOR(S):
                       Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo;
                        Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa,
                        Yoshio; Takeuchi, Hideatsu
PATENT ASSIGNEE(S):
                        Kowa Co., Ltd., Japan; Nissan Chemical Industries,
                        U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 894,279,
SOURCE:
                        abandoned.
                        CODEN: USXXAM
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
    DATE
                                        APPLICATION NO.
                                         _____
US 6465477 B1 20021015 US 1999-436789 19991108 <--
PRIORITY APPLN. INFO.: JP 1995-354654 A 19951222
US 1997-894279 B2 19970818
    A pharmaceutical composition comprises (E)-3,5-dihydroxy-7-[4'-4"-fluorophenyl-
AB
    2'-cyclopropylquinolin-3'-yl]-6-heptenoic acid (NK-104) or its salt or
    ester, of which the aqueous solution or dispersion has a pH of 6.8 to 8. The
    composition has good time-dependent stability and has no change in its outward
    appearance even after having been stored long. Tablets contained
    calcium salt of NK-104 1.0, lactose 101.4, low substituted
    hydroxypropyl cellulose 12.0, hydroxypropyl Me cellulose-2910 2.0, Mg
    aluminometasilicate 2.4, and Mg stearate 1.2 mg/tablet.
                        2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                             RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    US 6465477 B1 20021015
PΤ
    PATENT NO. KIND DATE APPLICATION NO. DATE
                              ----
    _____
                       ____
                                         ______
    US 6465477 B1 20021015 US 1999-436789 19991108 <--
PΙ
    . . has good time-dependent stability and has no change in its
AΒ
    outward appearance even after having been stored long. Tablets contained
    calcium salt of NK-104 1.0, lactose 101.4, low substituted
    hydroxypropyl cellulose 12.0, hydroxypropyl Me cellulose-2910 2.0, Mg
    aluminometasilicate 2.4, and Mg. . .
    147511-69-1, NK 104 468064-55-3
    RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
        (stable pharmaceutical composition containing NK-104)
T.9
    ANSWER 25 OF 38 CA COPYRIGHT 2008 ACS on STN
```

ACCESSION NUMBER: 137:118852 CA

TITLE: Pitavastatin (NK-104), a new HMG-CoA reductase

inhibitor

AUTHOR(S): Isley, William L.

CORPORATE SOURCE: Saint Luke's Lipid and Diabetes Research Center, University of Missouri, Kansas City, MO, 64111, USA

SOURCE: Drugs of Today (2001), 37(9), 587-594

CODEN: MDACAP; ISSN: 0025-7656

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Pitavastatin calcium (NK-104) is a new synthetic hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitor (statin). Animal studies suggest that, in addition to reducing low-d. lipoprotein (LDL) cholesterol, the drug may produce marked redns. in triglyceride-rich particles (very-low-d. [VLDL] and intermediate-d. lipoproteins [IDL]). It is not metabolized by the common cytochrome P 450 3A4 enzyme, possibly reducing the risk for drug interactions. Early studies suggest that it may be quite useful for treating common dyslipidemias (isolated elevations of LDL cholesterol and combined disorders with elevations of LDL cholesterol and triglycerides). Such improvements in lipid profiles are proven to have pos. effects on cardiovascular risk. Human studies are under way to further elucidate the effects of the drug and procure approval by various regulatory bodies.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Drugs of Today (2001), 37(9), 587-594 CODEN: MDACAP; ISSN: 0025-7656

AB A review. Pitavastatin calcium (NK-104) is a new synthetic hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitor (statin). Animal studies suggest that, in addition to reducing low-d. lipoprotein. . .

IT 147526-32-7, NK-104

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pitavastatin is a new HMG-CoA reductase inhibitor)

L9 ANSWER 26 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:109267 CA

TITLE: Preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S.

Ser. No. 875,155.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20020094977 US 6627636	A1 B2	20020718	US 2001-7407		20011204 <
US 20020013334 PRIORITY APPLN. INFO.:	A1	20020131	US 2001-875155 US 2000-211595P	P	20010606 < 20000615
	1120020	100 10000	US 2001-875155		20010606
OTHER SOURCE(S):	MARPAT	137 : 109267			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = 0, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDl cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis (no data). A multistep synthesis of II is reported.

PI US 20020094977 A1 20020718

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20020094977	A1	20020718	US 2001-7407	20011204 <
	US 6627636	В2	20030930		
	US 20020013334	A1	20020131	US 2001-875155	20010606 <

IT Calcium channel

RL: BSU (Biological study, unclassified); BIOL (Biological study) (T-type, blockers, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (calcium, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT 5-HT reuptake inhibitors

Angiotensin receptor antagonists

Anti-Alzheimer's agents

Anti-infective agents

Anti-inflammatory agents

Antianginal agents

Antiarrhythmics

Antiarthritics

Antidiabetic agents

Antihypertensives

Antiobesity agents

Antioxidants

Antitumor agents

Appetite depressants

Calcium channel blockers

Cardiovascular agents

Diuretics

Hormone replacement therapy

Hypolipemic agents

Immunomodulators

 $\alpha\text{-Adrenoceptor}$ antagonists

 $\beta\text{-Adrenoceptor}$ antagonists

```
\beta3-Adrenoceptor agonists
        (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA
        reductase inhibitors for treatment of hyperlipidemia,
        hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other
        disorders)
     50-78-2, Aspirin 51-64-9, Dexamphetamine 52-01-7, Spironolactone
ΙT
     52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole
     58-93-5, Hydrochlorothiazide 59-67-6, Niacin, biological studies
     94-20-2, Chlorpropamide 122-09-8, Phentermine 525-66-6, Propranolol
     564-25-0, Doxycycline
                             637-07-0, Clofibrate 657-24-9, Metformin
     1684-40-8, Tacrine hydrochloride 3416-24-8, Glucosamine 4205-91-8,
     Clonidine hydrochloride 9004-61-9, Hyaluronic acid 9007-28-7,
     Chondroitin sulfate 10118-90-8, Minocycline 10238-21-8, Glyburide
     14838-15-4, Phenylpropanolamine 19237-84-4, Prazosin hydrochloride
     21187-98-4, Gliclazide 21829-25-4, Nifedipine 22232-71-9, Mazindol
                               26807-65-8, Indapamide 29094-61-9, Glipizide
     25812-30-0, Gemfibrozil
     29122-68-7, Atenolol 42200-33-9, Nadolol 49562-28-9, Fenofibrate
     55142-85-3, Ticlopidine 56180-94-0, Acarbose 56211-40-6, Torasemide
     53142-63-3, licropidine 56180-94-0, Acarbose 56211-40-6, lorasemide 62571-86-2, Captopril 68475-42-3, Anagrelide 72432-03-2, Miglitol 72956-09-3, Carvedilol 75330-75-5, Lovastatin 76547-98-3, Lisinopril 79902-63-9, Simvastatin 80830-42-8, Fentiapril 81093-37-0, Pravastatin 85441-61-8, Quinapril 86541-75-5, Benazepril
     87333-19-5, Ramipril 89750-14-1, Glucagon-like peptide I 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-
                                                                        97240-79-4.
                  97322-87-7, Troglitazone 98048-97-6, Fosinopril
     Topiramate
     103775-10-6, Moexipril 105816-04-4, Nateglinide 106650-56-0,
     Sibutramine 111025-46-8, Pioglitazone 113665-84-2, Clopidogrel
     114798-26-4, Losartan 120014-06-4, Donepezil 122320-73-4,
     Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide
     137862-53-4, Valsartan 138402-11-6, Irbesartan 141758-74-9, AC 2993
     143443-90-7, Ifetroban 143653-53-6, Abciximab 144288-97-1, TS 962
     144494-65-5, Tirofiban 145599-86-6, Cerivastatin 147511-69-1,
     Pitavastatin 152755-31-2, LY 295427 159183-92-3, L 750355
     160135-92-2, Gemopatrilat 161600-01-7, Isaglitazone 162011-90-7, Vioxx
     166518-60-1, Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440
     169590-42-5, Celebrex 170861-63-9, JTT 501 176435-10-2, LY 315902
     178759-95-0, MD 700 182815-44-7, Cholestagel 188627-80-7, Eptifibatide
     196808-45-4, GI 262570 199113-98-9, NN 2344 199914-96-0, YM 440
     213252-19-8, KRP 297 244081-42-3, AJ 9677 246852-12-0, Amlodipine
     mesylate 251572-86-8, P 32/98 258345-41-4, GW 409544 282526-98-1,
     ATL 962 287714-41-4, Rosuvastatin 335149-08-1, L 895645
                                                                      335149-14-9,
     R 119702 335149-15-0, KAD 1129 335149-17-2, ARHO 39242 335149-23-0,
     NVP-DPP 728A
                     335149-25-2, CP 331648
                                               430433-17-3, Glipyride
     430433-43-5, CP 644673 444069-80-1, Axokine
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA
        reductase inhibitors for treatment of hyperlipidemia,
        hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other
        disorders)
     ANSWER 27 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                          137:24314 CA
TITLE:
                          Methods and apparatus for determining and utilizing
                          the viscosity of circulating blood over a range of
                          shear rates for diagnostics and treatment
INVENTOR(S):
                         Kensey, Kenneth; Hokanson, Charles
```

PATENT ASSIGNEE(S): Visco Technologies, Inc., USA; Rheologics, Inc.

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PA	PATENT NO WO 2002043806				KIN		DATE		APPLICATION NO606 WO 2001-US44352						ATE			
		0438	06		A2 A3	_		0606								0011	 127 <	_
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	ΤΤ,	TZ,	UA,	UG,	
		•	,	,	ZA,													
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑM,	AΖ,	BY,	KG,	
							ΑT,											
							PT,			BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	
			G₩,	ML,		ΝE,	SN,											
	2301				A1		1999			CA 1							826 <	
WO	9910		7.16	3.00	A2	3.5		0304		WO 1				017			826 <	-
	W:						BA,											
							GE,											
							LR,											
							RU,	SD,	SE,	SG,	51,	SK,	SL,	IJ,	ΙМ,	IK,	11,	
	DM.	•	•	•	VN,	•		C	IIC	17 TuT	7)]) /[7.17	DV	T/C	TZ TZ	MD	DII	
	LW.						SD, CY,									•	•	
							BJ,											
			TD,		or,	Dr,	ъо,	Cr,	CG,	C1,	CM,	GA,	GIV,	GW,	ти,	m,	NE,	
нп	2001	,	,	10	A2		2001	0528		HU 2	001-	201			1	9980	826 <	_
	2001				A3			0329							_			
NZ	5029	05			Α		2001	0831		NZ 1	998-	5029	05		1	9980	826 <	_
JP	2001	5143	84		T		2001	0911		JP 2	000-	5079	94		1	9980	826 <	_
NO	2000	0009	44		Α		2000	0225		NO 2	000-	944			2	0000	225 <	_
US	2002	0061	835		A1		2002	0523		US 2	001-	8287	61		2	0010	409 <	_
US	2003	0078	517		A1		2003	0424		US 2	001-	8397	85		2	0010	420 <	_
AU	2002	0269	86		Α		2002	0611		AU 2	002-	2698	6		2	0011	127 <	-
PRIORIT	Y APP	LN.	INFO	.:						US 1	997-	9660	76		A 1	9971	107	
										US 2					A 2	0001	201	
										US 2						0010		
										US 2						0010		
										US 2						0010		
										US 1						9970		
										WO 1						9980		
										US 1					A2 1			
										US 2					A2 2			
										US 2					A2 2			
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	rious				-						_			_			osity (υĽ

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for

explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

```
WO 2002043806 A2 20020606
PΙ
                          KIND DATE
                                             APPLICATION NO.
     PATENT NO.
                                               WO 2001-US44352
PΤ
     WO 2002043806
                           A2 20020606
                                                                         20011127 <--
                           A3 20030327
     WO 2002043806
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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Vasodilators

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ANSWER 28 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:11000 CA

TITLE: Pharmaceutical compositions containing angiotensin receptor blockers for treating sexual dysfunction

INVENTOR(S): Sahota, Pritam Singh

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Novartis Pharma. GmbH

PCT Int. Appl., 26 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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     The present invention relates to methods of treating sexual dysfunction
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     associated with hypertension and another condition by administering a
     pharmaceutical combination of an angiotensin receptor blocker with either
     an anti-hypertensive drug or an HMG-CoA reductase inhibitor. A
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   treating sexual dysfunction)
ANSWER 29 OF 38 CA COPYRIGHT 2008 ACS on STN
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                   Methods for in vivo drug delivery based on monitoring
                   blood flow parameters
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ACCESSION NUMBER:

TITLE:

INVENTOR(S): Kensey, Kenneth R.

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 727,950.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

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                                                                       A2 20000210
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                                                                       A2 20001201
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US 2001-841389 A 20010424 US 2001-897164 A3 20010702 WO 2001-US44352 W 20011127

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

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Adrenoceptor antagonists
Agglutination
Antiarrhythmics
Anticholesteremic agents
Anticoaqulants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Appetite depressants
Blood coagulation
 Calcium channel blockers
Cardiac contraction
Circulation
Diagnostic agents
Dietary supplements
Drug delivery systems
Drug dependence
Electrolytes, biological
Human
Hypolipemic agents
Platelet aggregation
Platelet aggregation
Platelet aggregation inhibitors
Psychotropics
Surfactants
Thixotropy
Vasodilators
\beta-Adrenoceptor antagonists
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Spironolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9,
Furosemide
           55-63-0, Nitroglycerin
                                     57-63-6, Ethinyl estradiol
58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5,
Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide
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9000-94-6, Antithrombin III 9002-01-1, Streptokinase 9002-72-6,
Somatotropin 9004-10-8, Insulin, biological studies 9004-54-0,
Dextran, biological studies 9005-27-0, Hetastarch 9007-12-9,
Calcitonin 9039-53-6, Urokinase 9041-08-1, OP 2000 10238-21-8,
Glyburide 11041-12-6, Cholestyramine 12650-69-0, Mupirocin
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Dermatan sulfate 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide
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Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Bupropion
35189-28-7, Norgestimate 38304-91-5, Minoxidil 42200-33-9, Nadolol
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64221-86-9, Imipenem 64544-07-6, Cefuroxime axetil 64706-54-3,
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83869-56-1, Granulocyte-macrophage colony-stimulating factor 84057-84-1,
Lamotrigine 84057-95-4, Ropivacaine 84449-90-1, Raloxifene
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Ramipril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 89365-50-4,
Salmeterol 89565-68-4, Tropisetron 90729-41-2, Oxodipine
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Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride
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ANSWER 30 OF 38 CA COPYRIGHT 2008 ACS on STN 1.9

ACCESSION NUMBER: 136:401651 CA

TITLE: Preparation of fused pyridine derivatives as HMG-CoA

reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.

Ser. No. 875,218. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
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US 20020061901	A1	20020523	US 2001-8154		20011204 <	
US 6620821	В2	20030916				
US 20020028826	A1	20020307	US 2001-875218		20010606 <	
US 20040024216	A1	20040205	US 2003-602753		20030624	
PRIORITY APPLN. INFO.:			US 2000-211594P	Ρ	20000615	
			US 2001-875218	Α2	20010606	
			US 2001-8154	A3	20011204	
OTHER SOURCE(S).	MARPAT	136 • 401651				

OTHER SOURCE(S): MARPAT 136:401651

GΙ

$$R^2$$
 R^2
 $N = (O)_n$
 CO_2Na
 R^4
 R^4

AΒ The title compds. I and their pharmaceutically acceptable salts, esters, prodrug esters, and stereoisomers are claimed [wherein: Z = CH(OH)CH2CR7(OH)CH2CO2R3 or corresponding pyranone lactone derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than $\bar{0}$; and optionally one or more carbons of (CH2)x and/or (CH2)y together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H or lower alkyl; R4 = H, halo, CF3, OH, alkyl, alkoxy, CO2H, (un)substituted NH2, cyano, (un)substituted CONH2, etc.; R7 = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Prepns. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of compds. I with various other drugs are claimed, the latter being specified as certain pharmacol. classes, as inhibitors of specific enzymes, as (ant)agonists of specific receptors, and as numerous named drugs.

PI US 20020061901 A1 20020523

			-		
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20020061901	A1	20020523	US 2001-8154	20011204 <
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	US 20020028826	A1	20020307	US 2001-875218	20010606 <
	US 20040024216	A1	20040205	US 2003-602753	20030624
ΙT	Calcium channel bl	ockers			

(T-channel, therapeutic compns. containing; preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

IT Calcium channel

RL: BSU (Biological study, unclassified); BIOL (Biological study) (T-type, blockers, therapeutic compns. containing; preparation of fused pyridine

derivs. as ${\tt HMG-CoA}$ reductase inhibitors)

IT Receptors

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RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (calcium, agonists, therapeutic compns. containing; preparation of
        fused pyridine derivs. as HMG-CoA reductase inhibitors)
     5-HT reuptake inhibitors
ΙT
     Angiotensin receptor antagonists
     Anti-infective agents
     Anti-inflammatory agents
     Antiarrhythmics
     Antiarthritics
     Antioxidants
     Appetite depressants
       Calcium channel blockers
     Diuretics
     Immunomodulators
     Immunosuppressants
     \alpha-Adrenoceptor antagonists
     \beta-Adrenoceptor antagonists
     \beta3-Adrenoceptor agonists
        (therapeutic compns. containing; preparation of fused pyridine derivs. as
        HMG-CoA reductase inhibitors)
     50-78-2, Aspirin 51-64-9, Dexamphetamine 52-01-7, Spironolactone 52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole
ΙT
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     59-67-6D, Nicotinic acid, derivs. 94-20-2, Chlorpropamide 122-09-8,
     Phentermine 303-98-0, Coenzyme Q10 525-66-6, Propranolol
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     Fibric acid, derivs. 1684-40-8, Tacrine hydrochloride
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     Glucosamine 4205-91-8, Clonidine hydrochloride 9002-64-6, Parathyroid
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     170861-63-9, JTT-501 176435-10-2, LY315902 178759-95-0, MD 700
     182815-44-7, Cholestagel 188627-80-7, Eptifibatide 196808-45-199113-98-9, NN-2344 199914-96-0, YM-440 213252-19-8, KRP297
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L9 ANSWER 31 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 136:252567 CA

TITLE: Methods for drug administration and distribution based

on monitoring blood viscosity and other parameters for

diagnostics and treatment

INVENTOR(S):
Kensey, Kenneth

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.

Ser. No. 819,924.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

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Various methods are provided for determining and utilizing the viscosity of the AΒ circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.

PI US 20020032149 A1 20020314

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Adrenoceptor antagonists
Agglutination
Antiarrhythmics
Anticholesteremic agents
Anticoagulants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Appetite depressants
Blood analysis
Blood coagulation
  Calcium channel blockers
Cardiac contraction
Circulation
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ΙT

Diagnosis

Dietary supplements Drug delivery systems Drug delivery systems Drug dependence Electrolytes, biological Human Hypolipemic agents Platelet aggregation Platelet aggregation Platelet aggregation inhibitors Sedimentation (separation) Surfactants Therapy Thixotropy Tobacco products Vasodilators β -Adrenoceptor antagonists (apparatus and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment) 50-28-2, Estradiol, biological studies 50-78-2, Aspirin ΙT 52-01-7, Spironolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0, Nitroglycerin 57-63-6, Ethinyl estradiol 58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5, Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide 68-22-4, Norethindrone 69-65-8, Mannitol 70-51-9 72-33-3, Mestranol 81-81-2, Warfarin 86-54-4, Hydralazine 87-33-2, Isosorbide dinitrate 94-20-2, Chlorpropamide 122-09-8, Phentermine 396-01-0, Triamterene 520-85-4, Medroxyprogesterone 525-66-6, Propranolol 634-03-7, Phendimetrazine 637-07-0, Clofibrate 657-24-9, Metformin 1156-19-0, Tolazamide 1231-93-2, Ethynodiol Levonorgestrel 2098-66-0, Cyproterone 3056-17-5, Stavudine 3930-20-9, Sotalol 4291-63-8, Cladribine 6533-00-2, Norgestrel 8001-27-2, Hirudin 9000-69-5, Pectin 9000-94-6, Antithrombin III 9002-01-1, Streptokinase 9002-18-0, Agar 9002-72-6, Somatotropin 9004-10-8, Insulin, biological studies 9004-54-0, Dextran, biological studies 9004-67-5, Methyl cellulose 9005-27-0, Hetastarch 9007-12-9, Calcitonin 9039-53-6, Urokinase 9041-08-1, OP 2000 10238-21-8, Glyburide 11041-12-6, 12650-69-0, Mupirocin 13523-86-9, Pindolol Cholestvramine 15291-77-7, Ginkgolide B 15307-86-5, Diclofenac 16051-77-7, Isosorbide mononitrate 17560-51-9, Metolazone 18559-94-9, Salbutamol 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 24967-94-0, Dermatan 25322-68-3, Polyethylene glycol 25614-03-3, Bromocriptine sulfate 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide 28523-86-6, Sevoflurane 28721-07-5, Oxcarbazepine 29094-61-9, Glipizide 29122-68-7, Atenolol 29457-07-6, Ticarcillin disodium 30516-87-1, Zidovudine 32222-06-3, Calcitriol 34391-04-3, Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Bupropion 35189-28-7, Norgestimate 38304-91-5, Minoxidil 39562-70-4, Nitrendipine 42200-33-9, Nadolol 42399-41-7, Diltiazem 4 42924-53-8, Nabumetone 47141-42-4, Levobunolol 49562-28-9, Fenofibrate 50925-79-6, Colestipol 51333-22-3, Budesonide 51384-51-1, Metoprolol 54024-22-5, Desogestrel 55142-85-3, Ticlopidine 55985-32-5, Nicardipine 56420-45-0, Acarbose 56211-40-6, Torsemide 56420-45-2, Epirubicin 59122-46-2, Misoprostol 60202-16-6, Blood-coagulation factor XIV 60282-87-3, Gestodene 62571-86-2, Captopril 63612-50-0, Nilutamide 63675-72-9, Nisoldipine 64221-86-9, Imipenem 64544-07-6,

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L9 ANSWER 32 OF 38 CA COPYRIGHT 2008 ACS on STN
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ACCESSION NUMBER:
                        Medicinal compositions containing HMG-CoA reductase
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                        Lee, Tsung Ming; Lee, Bai-Ching; Su, Shen-Fang; Hsiao,
INVENTOR(S):
                        Chia-Ling; Chu, Chia-Wei
PATENT ASSIGNEE(S):
                        Sankyo Company, Ltd., Japan
SOURCE:
                        PCT Int. Appl., 35 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
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LANGUAGE:
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FAMILY ACC. NUM. COUNT: 1
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AB
    Disclosed are medicinal compns. comprising an HMG-CoA reductase inhibitor
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    lovastatin, pitavastatin and ZD-4522, and an angiotensin II receptor
    antagonist optionally together with a calcium channel blocker.
    The preventive effect of administration of pravastatin 10, losartan 50,
    and amlodipine 5 mg/day for 6 mo on left ventricle hypertrophy in patients
    was examined
REFERENCE COUNT:
                             THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
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РΤ
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     . . . the group consisting of pravastatin, simvastatin, lovastatin,
AΒ
     pitavastatin and ZD-4522, and an angiotensin II receptor antagonist
     optionally together with a calcium channel blocker. The
     preventive effect of administration of pravastatin 10, losartan 50, and
     amlodipine 5 mg/day for 6 mo on.
ΙT
    Calcium channel blockers
        (medicinal compns. containing HMG-CoA reductase inhibitors, angiotensin II
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ΙT
     75330-75-5, Lovastatin
                             79902-63-9, Simvastatin 81131-70-6, Pravastatin
     sodium salt 147098-20-2, ZD-4522 147511-69-1, Pitavastatin
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (medicinal compns. containing HMG-CoA reductase inhibitors and angiotensin
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     88150-42-9, Amlodipine
ΤТ
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     (Biological study); USES (Uses)
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        treating heart failure)
    ANSWER 33 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         136:112193 CA
TITLE:
                         Synthesis and biological evaluations of
                         quinoline-based HMG-CoA reductase inhibitors
AUTHOR(S):
                         Suzuki, M.; Iwasaki, H.; Fujikawa, Y.; Kitahara, M.;
                         Sakashita, M.; Sakoda, R.
CORPORATE SOURCE:
                         Central Research Laboratories, Nissan Chemical
                         Industries, Ltd., Funabashi, Chiba, 274-8507, Japan
                         Bioorganic & Medicinal Chemistry (2001),
SOURCE:
                         9(10), 2727-2743
                        CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:
                        Elsevier Science Ltd.
DOCUMENT TYPE:
                        Journal
LANGUAGE:
                        English
OTHER SOURCE(S):
                        CASREACT 136:112193
    A series of quinoline-based 3,5-dihydroxyheptenoic acid derivs. were
     synthesized from quinolinecarboxylic acid esters by homologation, aldol
     condensation with Et acetoacetate dianion, and reduction of 3-hydroxyketone to
     evaluate their ability to inhibit the enzyme HMG-CoA reductase in vitro.
     In agreement with previous literature, a strict structural requirement
     exists on the external ring, and 4-fluorophenyl is the most active in this
     system. For the central ring, substitution on positions 6, 7, and 8 of
     the central quinoline nucleus moderately affected the potency, whereas the
     alkyl side chain on the 2-position had a more pronounced influence on
     activity. Among the derivs., NK-104 (pitavastatin calcium),
     which has a cyclopropyl group as the alkyl side chain, showed the greatest
     potency. We found that further modulation and improvement in potency at
     inhibiting HMG-CoA reductase was obtained by having the optimal
     substituents flanking the desmethylmevalonic acid portion, i.e.,
     4-fluorophenyl and cyclopropyl, instead of the usual iso-Pr group.
                               THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                         48
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
SO
     Bioorganic & Medicinal Chemistry (2001), 9(10), 2727-2743
     CODEN: BMECEP; ISSN: 0968-0896
AΒ
     . . . whereas the alkyl side chain on the 2-position had a more
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pronounced influence on activity. Among the derivs., NK-104 (pitavastatin
    calcium), which has a cyclopropyl group as the alkyl side chain,
    showed the greatest potency. We found that further modulation and. . .
                  118175-23-8P 130048-17-8P 207976-70-3P
ΙT
    118175-21-6P
    391681-56-4P 391681-57-5P 391681-58-6P 391681-59-7P
    391681-60-0P 391681-61-1P 391681-62-2P 391681-63-3P 391681-64-4P
    391681-65-5P 391681-66-6P 391681-67-7P 391681-68-8P 391681-69-9P
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    391681-85-9P 391681-86-0P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (synthesis and biol. evaluations of quinoline-based HMG-CoA reductase
       inhibitors)
    147526-32-7, NK-104
TΤ
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (synthesis and biol. evaluations of quinoline-based HMG-CoA reductase
        inhibitors)
    121659-86-7P 121660-11-5P
130048-10-1P 130048-11-2P
                                  121660-37-5P
                                                130048-08-7P
                                                                130048-09-8P
                                 130954-99-3P 147008-20-6P
    148516-11-4P 148901-68-2P 148901-69-3P 391681-88-2P 391681-89-3P 391681-90-6P 391681-93-9P 391681-94-0P 391681-95-1P
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                                                                391681-87-1P
                                                 391681-91-7P 391681-92-8P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (synthesis and biol. evaluations of quinoline-based HMG-CoA reductase
        inhibitors)
    ANSWER 34 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                        136:96068 CA
TITLE:
                        SREBP-2 gene expression promoters as hypolipidemics
INVENTOR(S):
                        Kodama, Tatsuhiko; Hamakubo, Takao; Murakami, Takeshi;
                        Saito, Yasushi; Morikawa, Shigeru; Kitahara, Masaki;
                        Tamaki, Taro
PATENT ASSIGNEE(S):
                        Kowa Co., Ltd., Japan; Nissan Chemical Industries,
SOURCE:
                        Jpn. Kokai Tokkyo Koho, 6 pp.
                        CODEN: JKXXAF
DOCUMENT TYPE:
                        Pat.ent.
LANGUAGE:
                        Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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                                          JP 2000-189161
JP 2000-189161
    JP 2002003374
                        A 20020109
                                                                 20000623 <--
PRIORITY APPLN. INFO.:
                                                                  20000623
                       MARPAT 136:96068
OTHER SOURCE(S):
    SREBP-2 (sterol regulatory element-binding protein) gene expression
    promoters RXCH(OH)CH2CH(OH)CH2CO2M (I; R = organic base; X = -CH2CH2-,
    -CH=CH-; M = H, alkyl, physiol. acceptable cation), including
    (+)-bis\{(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-
    dihydroxy-6-heptenoic acid} calcium, are claimed as
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hypolipidemics.

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JP 2002003374 A 20020109
PΤ
    PATENT NO. KIND DATE
                                    APPLICATION NO. DATE
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PΙ
AΒ
    . . RXCH(OH)CH2CH(OH)CH2CO2M (I; R = organic base; X = -CH2CH2-,
    -CH=CH-; M = H, alkyl, physiol. acceptable cation), including
    (+)-bis\{(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-
    dihydroxy-6-heptenoic acid} calcium, are claimed as
    hypolipidemics.
    147526-32-7
ΙT
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
    (Biological study); USES (Uses)
       (SREBP-2 (sterol regulatory element-binding protein) gene expression
       promoters as hypolipidemics)
    ANSWER 35 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:10035 CA
                       HMG-CoA reductase inhibitors for ameliorating abnormal
TITLE:
                       bone states
INVENTOR(S):
                      Bagi, Cedo M.
                    Bayer Aktiengesellschaft, Germany
PATENT ASSIGNEE(S):
                      PCT Int. Appl., 39 pp.
SOURCE:
                       CODEN: PIXXD2
DOCUMENT TYPE:
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LANGUAGE:
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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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                                                             DATE
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    WO 2001037876 A2 20010531 WO 2000-EP11466 20001117 <--
WO 2001037876 A3 20020321
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            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        US 1999-167267P P 19991124
PRIORITY APPLN. INFO.:
    This application relates to methods of using HMG-CoA reductase inhibitors
AB
    for the prevention and for the treatment of abnormal conditions
    ameliorated by concurrent decrease in bone resorption and stimulation of
    bone formation. This invention also relates to methods of using HMG-CoA
    reductase inhibitors for the prevention and for the treatment of
    conditions ameliorated by a decrease in plasma calcium levels.
    Thus, tablets contained cerivastatin 25, microcryst. cellulose 200,
    colloidal SiO2 10, and stearic acid 5 mg/tablet. WO 2001037876 A2 20010531
                                    APPLICATION NO. DATE
    PATENT NO. KIND DATE
    WO 2001037876 A2 20010531
WO 2001037876 A3 20020321
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                                      WO 2000-EP11466 20001117 <--
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
           . of using HMG-CoA reductase inhibitors for the prevention and for
AΒ
     the treatment of conditions ameliorated by a decrease in plasma
     calcium levels. Thus, tablets contained cerivastatin 25,
     microcryst. cellulose 200, colloidal SiO2 10, and stearic acid 5
     mg/tablet.
ΙT
     75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin
     93957-54-1, Fluvastatin 134523-00-5, Atorvastatin
                                                          145599-86-6,
     Cerivastatin 147511-69-1, Itavastatin 287714-41-4
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (HMG-CoA reductase inhibitors for ameliorating abnormal bone states)
ΙT
     7440-70-2, Calcium, biological studies
     RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
        (hypercalcemia, inhibitors; HMG-CoA reductase inhibitors for
        ameliorating abnormal bone states)
    ANSWER 36 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 134:311218 CA
TITLE:
                        Synthesis and use of heterocyclic sodium/proton
                        exchange inhibitors
INVENTOR(S):
                        Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,
                        Khehyong; Atwal, Karnail S.
                        Bristol-Myers Squibb Company, USA
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 221 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                   KIND DATE APPLICATION NO. DATE
     PATENT NO.
    WO 2001027107 A2 20010419
WO 2001027107 A3 20020124
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IN	2002MN00354	A	20050318	IN	2002-MN354		20020322	
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US	7326705	B2	20080205					
PRIORITY	APPLN. INFO.:			US	1999-158755P	P	19991012	
				US	2000-669298	АЗ	20000925	
				WO	2000-US27461	W	20001002	

OTHER SOURCE(S):
GI

MARPAT 134:311218

GΙ

AΒ Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. intermediate tert-Bu ester is converted to the corresponding α -chloroketone and reacted with acetyl quanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

PI WO 2001027107 A2 20010419

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2001027107	A2	20010419	WO 2000-US27461	20001002 <
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ΙT
     Ion channel blockers
        (calcium, pharmaceuticals containing; synthesis and use of
        heterocyclic sodium/proton exchange inhibitors)
     50-02-2, Dexamethasone 50-78-2, Aspirin 51-64-9, Dexamphetamine
ΤТ
     52-53-9, Verapamil 56-03-1D, Biguanide, derivs. 58-32-2, Dipyridamole
     58-55-9, Theophylline, biological studies 59-67-6, Niacin, biological
     studies 94-20-2, Chlorpropamide 122-09-8, Phentermine 124-94-7,
                    525-66-6, Propranolol 637-07-0, Clofibrate
     Triamcinolone
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     Metformin 943-45-3D, Fibric acid, derivs. 3385-03-3, Flunisolide
     4205-91-8, Clonidine hydrochloride 4419-39-0, Beclomethasone
     9002-01-1, Streptokinase 9039-53-6, Urokinase 10238-21-8, Glyburide
     13392-18-2, Fenoterol 14838-15-4, Phenylpropanolamine
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     Cromolyn 18559-94-9, Albuterol 19237-84-4, Prazosin hydrochloride
     21187-98-4, Gliclazide
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     89750-14-1, Glucagon-like peptide I 90566-53-3, Fluticasone 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 97240-79-4, Topiramate 97322-87-7, Troglitazone 98048-97-6, Fosinopril 103177-37-3, Pranlukast 103775-10-6, Moexipril 105816-04-4, Nateglinide 105857-23-6, Activase 105913-11-9D, Plasminogen activator, complex
     106650-56-0, Sibutramine 107753-78-6, Zafirlukast 111025-46-8,
     Pioglitazone 111406-87-2, Zileuton 111470-99-6, Amlodipine besylate
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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

113665-84-2, Clopidogrel 114798-26-4, Losartan 122320-73-4, Rosiglitazone 133652-38-7, Reteplase 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 139639-23-9, Tissue plasminogen activator 141758-74-9, AC 143443-90-7, Ifetroban 144288-97-1, TS 962 145599-86-6, 150322-43-3, CS 747 Cerivastatin 147511-69-1, Itavastatin 152755-31-2, LY 295427 158966-92-8, Montelukast 159183-92-3, L 750355 160135-92-2 166518-60-1, Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440 170861-63-9, JTT 501 171870-23-8, Lanoteplase 176435-10-2, LY 315902 178759-95-0, MD 700 182815-44-7, Cholestagel 196808-45-4, GI 262570 199113-98-9, NN 2344 199914-96-0 213252-19-8, KRP 297 244081-42-3, AJ 9677 251572-86-8 258345-41-4, GW 409544 335149-05-8, AZ 4522 335149-08-1, L 895645 335149-14-9, R 119702 335149-15-0, KAD 1129 335149-17-2, ARHO 39242 335149-23-0, NVP-DPP 728A 335149-25-2, CP 331648 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

L9 ANSWER 37 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 127:113387 CA ORIGINAL REFERENCE NO.: 127:21777a,21780a

TITLE: Pharmaceutical composition containing

quinolinheptenoic acid derivatives stabilized with a

basic agent

INVENTOR(S): Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo;

Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa,

Yoshio; Takeuchi, Hideatsu

PATENT ASSIGNEE(S): Kowa Company, Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	FENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
WO	9723	200			A1	_	 1997	0703		WO 1	996-	 JP37	 22		1:	9961.	220	<
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IE, SI, FI, RO
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                    A3
    HU 9903536
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    CZ 288545
                    В6
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    NO 316724
                          20040419
PRIORITY APPLN. INFO.:
                                     JP 1995-354654
                                                      A 19951222
                                     WO 1996-JP3722
                                                     W 19961220
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AB Disclosed is a pharmaceutical composition comprising (E)-3,5-dihydroxy-7-[4'-4''-fluorophenyl-2'-cyclopropyl-quinolin-3'-yl]-6-heptenoic acid (NK-104), or its salt or ester, of which the aqueous solution or dispersion has a pH of from 7 to 8. The composition has good time-dependent stability and has no change in its outward appearance even after having been stored long. A pharmaceutical tablet contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropylmethyl cellulose 2.0, magnesium metasilicate aluminate 2.4, and magnesium stearate 1.2 mg.

PI WO 9723200 A1 19970703

ΡΙ	PAT	9723. ENT 1	NO.			KIN	D				APPL	ICAT	ION :	NO.		D.	ATE		
PI	WO	9723																	
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		2885				B6													
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В6
SK 282991
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NO 9703814
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                A
                                                    19970819 <--
NO 316724
                 В1
                      20040419
```

AB . . . time-dependent stability and has no change in its outward appearance even after having been stored long. A pharmaceutical tablet contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropylmethyl cellulose 2.0, magnesium metasilicate aluminate 2.4, and magnesium. . . IT 147511-69-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $\hbox{ (pharmaceutical composition containing quinolinheptenoic acid derivs. } \\$

with basic agent)

TT 74-79-3, L-Arginine, biological studies 7758-11-4, Dipotassium hydrogen
phosphate 9004-64-2, Hydroxypropyl cellulose 9004-65-3,
Hydroxypropylmethyl cellulose 15551-62-9, Aluminum magnesium
metasilicate 147526-32-7 192565-91-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical composition containing quinolinheptenoic acid derivs. stabilized

with basic agent)

L9 ANSWER 38 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 122:38847 CA ORIGINAL REFERENCE NO.: 122:7395a,7398a

TITLE: Stabilized pharmaceutical compositions comprising an

HMG-CoA reductase inhibitor compound

INVENTOR(S): Kabadi, Mohan B.; Vivilecchia, Richard V.

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.

SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 805,667,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5356896	 A	19941018	US 1992-995252	19921222 <
HU 63328	A2	19930830	HU 1992-3780	19921130 <
HU 217629	В	20000328		
HU 221849	В1	20030228	HU 2000-790	19921130 <
DE 4240430	A1	19930617	DE 1992-4240430	19921202 <
DE 4240430	B4	20071227		
СН 684309	A5	19940831	CH 1992-3751	19921207 <
GB 2262229	A	19930616	GB 1992-25659	19921208 <
GB 2262229	В	19951101		
ES 2142819	Т3	20000501	ES 1992-810962	19921208 <
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CA 2085037	A1	19930613	CA 1992-2085037	19921210 <

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CZ	287776	В6	20010117	CZ	1992-3633		19921210	<
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FI	114284	В1	20040930	FΙ	1992-5615		19921210	
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AT	9202449	A	19960515	ΑT	1992-2449		19921211	<
AT	401870	В	19961227					
RU	2121835	C1	19981120	RU	1992-4564		19921211	<
FR	2684876	A1	19930618	FR	1992-15142		19921214	<
FR	2684876	В1	19950505					
CN	1091634	A	19940907	CN	1993-100650		19930130	<
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				ΑT	1992-2449	Α	19921211	
				US	1992-995252		19921222	

OTHER SOURCE(S): MARPAT 122:38847

AB A pharmaceutical dosage form comprising an HMG-CoA reductase inhibitor compound, e.g., fluvastatin sodium, is disclosed which is stabilized against pH-related degradation by an alkaline stabilizing medium capable of imparting a pH

of at least 8 to an aqueous solution or dispersion of the composition PI US 5356896 A 19941018

LI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5356896	– – – A	19941018	US 1992-995252	19921222 <
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	DE 4240430	A1	19930617	DE 1992-4240430	19921202 <
	DE 4240430	B4	20071227		
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	GB 2262229	A	19930616	GB 1992-25659	19921208 <
	GB 2262229	В	19951101		
	ES 2142819	Т3	20000501	ES 1992-810962	19921208 <
	PT 547000	T	20000630	PT 1992-810962	19921208 <
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	AU 661075	B2	19950713		
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	RO 111542	B1	19961129	RO 1992-1545	19921210 <
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A'	Г 401870	В	19961227				
RI	J 2121835	C1	19981120	RU	1992-4564	19921211	<
F	R 2684876	A1	19930618	FR	1992-15142	19921214	<
F	R 2684876	B1	19950505				
CI	N 1091634	A	19940907	CN	1993-100650	19930130	<
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A'	Г 9501905	A	19960515	ΑT	1995-1905	19951123	<
A.	Г 401872	В	19961227				
G]	R 3032929	Т3	20000731	GR	2000-400625	20000310	<

IT 144-55-8, Sodium bicarbonate, biological studies 471-34-1, Calcium carbonate, biological studies 93957-55-2, Fluvastatin sodium 94061-80-0 118312-81-5 145599-86-6 147008-21-7 159736-87-5 159736-89-7 159768-15-7 159813-76-0 159813-77-1 159813-78-2 159839-30-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stabilized pharmaceutical compns. containing an HMG-CoA reductase inhibitor)

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L9 ANSWER 1 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nanoparticulate fibrate formulations)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

- L9 ANSWER 2 OF 38 CA COPYRIGHT 2008 ACS on STN
- IT 147511-69-1, Pitavastatin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(bile prepns. for colorectal disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 3 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dual controlled-release osmotic device comprising two different active agents)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 4 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (orally administered small peptides synergize statin activity, and therapeutic uses) RN 147511-69-1 CA 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

ANSWER 5 OF 38 CA COPYRIGHT 2008 ACS on STN L9

ΙT 147511-69-1, Pitavastatin

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors for treatment of hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN147511-69-1 CA

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L9 ANSWER 6 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(controlled-release pitavastatin compns. containing enteric layers)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 7 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medical goods comprising a heparin-based hemocompatible coating)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

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L9 ANSWER 8 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
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RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 9 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L9 ANSWER 10 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

- L9 ANSWER 11 OF 38 CA COPYRIGHT 2008 ACS on STN
- IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 β -carboxamide derivs. as

androgen receptor modulators and their therapeutic uses)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 12 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 586966-54-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.)

RN 586966-54-3 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, 1,1-dimethylethyl ester, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L9 ANSWER 13 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 14 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 167073-19-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ -hydroxy- β -ketoesters)

RN 167073-19-0 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, ethyl ester, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L9 ANSWER 15 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combined with cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 16 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7P, Pitavastatin hemicalcium

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of an asym. β , δ -dihydroxycarboxylic acid side chain used for manufacture of a HMG-CoA reductase inhibitors)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

●1/2 Ca

L9 ANSWER 17 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of immediate-release powder in pharmaceutical and nutraceutical compns.)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 18 OF 38 CA COPYRIGHT 2008 ACS on STN

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 19 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 20 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of statins to inhibit formation of osteoclasts)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 21 OF 38 CA COPYRIGHT 2008 ACS on STN

(processes for preparing calcium salt forms of statins)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

L9 ANSWER 22 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 23 OF 38 CA COPYRIGHT 2008 ACS on STN

(preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid as remedial agent for glomerular diseases)

RN 121659-03-8 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy- (CA INDEX NAME)

L9 ANSWER 24 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, NK 104

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(stable pharmaceutical composition containing NK-104)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 25 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7, NK-104

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pitavastatin is a new HMG-CoA reductase inhibitor)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

●1/2 Ca

L9 ANSWER 26 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 27 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and apparatus for determining and utilizing the viscosity of circulating $\ensuremath{\mathsf{Circulating}}$

blood over a range of shear rates for diagnostics and treatment)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 28 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, PITAVASTATIN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. containing angiotensin receptor blockers for treating sexual dysfunction)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L9 ANSWER 29 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods for in vivo drug delivery based on monitoring blood flow parameters)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 30 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. containing; preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L9 ANSWER 31 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (apparatus and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 32 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicinal compns. containing HMG-CoA reductase inhibitors and angiotensin II receptor antagonists for preventing or treating heart failure)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L9 ANSWER 33 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 391681-56-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)

RN 391681-56-4 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, sodium salt (1:1), (3R,5S,6E)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

Na

L9 ANSWER 34 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SREBP-2 (sterol regulatory element-binding protein) gene expression promoters as hypolipidemics)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

●1/2 Ca

L9 ANSWER 35 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Itavastatin RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitors for ameliorating abnormal bone states)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 36 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Itavastatin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L9 ANSWER 37 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $\hbox{ (pharmaceutical composition containing quinolinheptenoic acid derivs. } \\$

with basic agent)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Relative stereochemistry. Double bond geometry as shown.

```
=> d his
     (FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008)
     FILE 'REGISTRY' ENTERED AT 10:48:22 ON 08 SEP 2008
     FILE 'REGISTRY' ENTERED AT 10:48:39 ON 08 SEP 2008
L1
                STRUCTURE UPLOADED
L2
              5 S L1 SAM
L3
             73 S L1 FULL
     FILE 'CA' ENTERED AT 10:50:20 ON 08 SEP 2008
            720 S L3
L4
              8 S CRYSTAL AND L4
L5
            215 S L4 AND PY<2004
L6
              6 S L6 AND (SOLID OR CRYST?)
L7
            209 S L6 NOT L7
L8
             38 S L8 AND (CA OR CALCIUM)
L9
=>
---Logging off of STN---
```

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 10:54:03 ON 08 SEP 2008